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August 1, 2003
NEWS 5 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right
Truncation
NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR
NEWS 10 SEP 22 DIPPR file reloaded
NEWS 11 SEP 25 INPADOC: Legal Status data to be reloaded
NEWS 12 SEP 29 DISSABS now available on STN
NEWS 13 OCT 10 PCTFULL: Two new display fields added
NEWS 14 OCT 21 BIOSIS file reloaded and enhanced

NEWS EXPRESS OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 09:13:42 ON 23 OCT 2003

=> fil reg

COST IN U.S. DOLLARS

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TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:14:09 ON 23 OCT 2003

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STRUCTURE FILE UPDATES: 22 OCT 2003 HIGHEST RN 608090-08-0
DICTIONARY FILE UPDATES: 22 OCT 2003 HIGHEST RN 608090-08-0

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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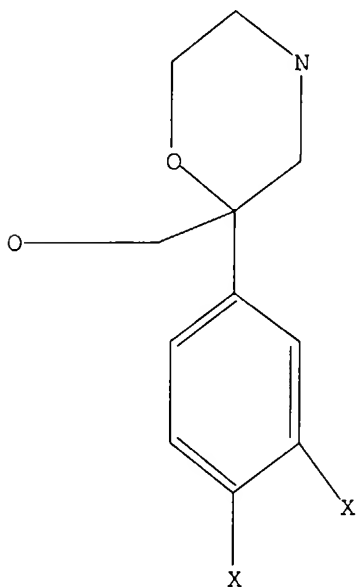
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>
Uploading 10030600.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11
SAMPLE SEARCH INITIATED 09:17:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 11 TO ITERATE

100.0% PROCESSED 11 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

Page 3 10/23/2003

PROJECTED ITERATIONS: 22 TO 418
PROJECTED ANSWERS: 8 TO 329

L2 8 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 09:17:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 207 TO ITERATE

100.0% PROCESSED 207 ITERATIONS 120 ANSWERS
SEARCH TIME: 00.00.01

L3 120 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

	SINCE FILE ENTRY	TOTAL SESSION
	150.15	150.36

FILE 'CAPLUS' ENTERED AT 09:17:44 ON 23 OCT 2003
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FILE COVERS 1907 - 23 Oct 2003 VOL 139 ISS 17
FILE LAST UPDATED: 22 Oct 2003 (20031022/ED)

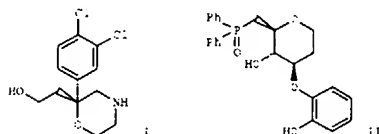
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L4 9 L2

=> s l3
L5 22 L3

=> d ibib abs hitstr 1-22

L5 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001155669 CAPLUS
 DOCUMENT NUMBER: 13916844
 TITLE: Efficient synthesis of a key intermediate of neurokinin receptor antagonists using a bifunctional asymmetric catalyst
 AUTHOR(S): Takamura, Makoto; Yaku, Kazuo; Nishi, Takahide; Yanagisawa, Hiroaki; Kanai, Motomasa; Shibasaki, Masakatsu
 CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Sanofi-Sintelabo, Ltd., Tokyo, 140-8719, Japan
 SOURCE: Synlett (2002), (3), 383-386
 CODEN: SYNLDD ISSN: 0930-5214
 PUBLISHER: Georg Thieme Verlag
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 13916844
 ST



AB An efficient synthesis was reported for the prepn. of 2-[(12R)-arylmorpholin-2-yl]ethanol, a key intermediate of neurokinin receptor antagonists. Catalytic asym. cyanosilylation of 3,4-dichlorobenzyl(2R)-2-oxo-2-methylpropanoate using the in situ formed complex of ligand II with Ti(OiPr)₄ was employed to introduce the required stereochem.

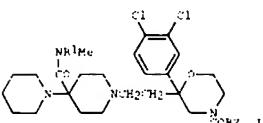
IT 191673-55-9P
 RI: RCT (Reactant); SYN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of 2-[(12R)-arylmorpholin-2-yl]ethanol, a key intermediate of neurokinin receptor antagonists, via asym. cyanosilylation using a bifunctional asym. catalyst)
 RN 191673-55-9 CAPLUS
 CN 2-Morpholineethanol, 6-[(3,4-dichlorophenyl)-6-[(2-[(1,1,1-trimethylethyl)dimethylsilyl]oxy]ethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002190215 CAPLUS
 DOCUMENT NUMBER: 137384848
 TITLE: Novel piperidinylpiperidinecarboxamides as antagonists of human neurokinin receptors
 INVENTOR(S): Emonda, A.; Xavier, Proietto, Vincenzo
 PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
 SOURCE: ECT Int. Appl., 29 pp.
 CODEN: EFXAD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY AND NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094821	A1	20021128	WO 2002-FR1661	20020517
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, FI, FR, GE, GR, GU, HK, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>KW: BH, BM, BF, BS, MW, NZ, SE, SI, SG, TH, TG, TN, TW, AT, BE, CH, CY, DE, DK, EE, FI, FR, GB, GR, IE, IT, JP, MC, NL, PT, SE, TR, BF, BG, CA, CG, CI, CM, GA, GN, GT, GW, ML, NE, NG, SN, TD, TG</p>				
FR 2874428	A1	20021122	FR 2001 6601	20010521

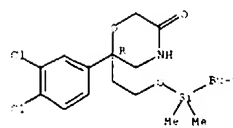
PRIORITY APPL. INFO.: FR 2001 6601 A 20010521
 OTHER SOURCE(S): MARPAT 137384848
 ST



AB Title compo. I [R¹ = H, Me; Z = Ph, 2,3-dichloro, 2,6-dichloro; B = Bond, CH₂] were prepd. and show strong affinity both for human NK2 receptors of neurokinin A and for human NK3 receptors of neurokinin B and are antagonists of said receptors. Thus, I [R¹ = Me, Z = Ph, B = Bond] was prepd. by reductive amination of 2-[4-benzyl-2-(3,4-dichlorophenyl)morpholin-2-yl]acetaldehyde (II) with 4-(N,N-dimethylcarbamoyl)-4-piperidinepiperidine (III). II was obtained by benzoylating 2-[2-(3,4-dichlorophenyl)morpholin-2-yl]ethyl benzoate, ester hydrolysis, and retn. to the aldehyde. III was obtained by treating 1-benzyl-4-piperidone with piperidine HCl and NaCN, hydrolyzing to the amide, N-methylating, and debenzoylating. I [R¹ = Me, Z = Ph, B = Bond] had Ki 4X10⁻¹¹ M for inhibition of [125I]MeS NKA to bind human NK2 receptors.

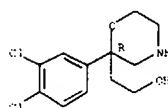
IT 181641-36-1P 181642-08-6P 476013-01-1P
 476013-03-3P 476013-04-4P 476013-06-6P
 476013-07-7P

L5 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



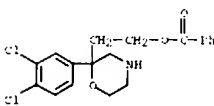
IT 191673-56-0P
 RI: SYN (Synthetic preparation); PREP (Preparation)
 (synthesis of 2-[(12R)-arylmorpholin-2-yl]ethanol, a key intermediate of neurokinin receptor antagonists, via asym. cyanosilylation using a bifunctional asym. catalyst)
 RN 191673-56-0 CAPLUS
 CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

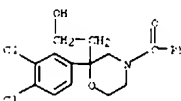


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

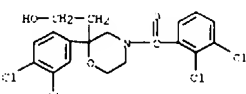
L5 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RI: RCT (Reactant); SYN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of novel piperidinylpiperidinecarboxamides as antagonists of human neurokinin receptors)
 RN 181641-36-1 CAPLUS
 CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)



RN 181642-08-6 CAPLUS
 CN 2-Morpholineethanol, 4-benzyl-2-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

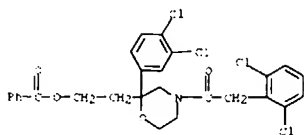


RN 476013-01-1 CAPLUS
 CN 2-Morpholineethanol, 4-(2,3-dichlorobenzyl)-2-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

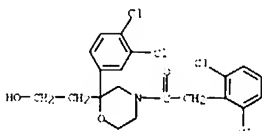


RN 476013-03-3 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[(2,6-dichlorophenyl)acetyl]-, benzoate (ester) (9CI) (CA INDEX NAME)

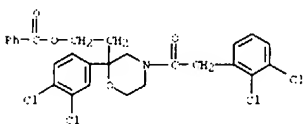
LS ANSWER 2 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 476013-04-4 CAPLUS
 CN 2-Morpholinomethanol, 2-(3,4-dichlorophenyl)-4-[(2,6-dichlorophenyl)acetyl]-
 (9CI) (CA INDEX NAME)



RN 476013-06-6 CAPLUS
 CN 2-Morpholinomethanol, 2-(3,4-dichlorophenyl)-4-[(2,3-dichlorophenyl)acetyl]-
 , benzoate (ester) (9CI) (CA INDEX NAME)

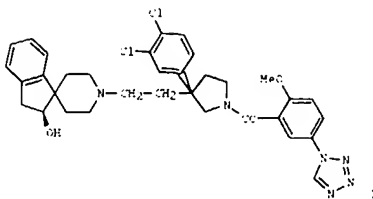


RN 476013-07-7 CAPLUS
 CN 2-Morpholinomethanol, 2-(3,4-dichlorophenyl)-4-[(2,4-dichlorophenyl)acetyl]-
 (9CI) (CA INDEX NAME)

LS ANSWER 3 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:827456 CAPLUS
 DOCUMENT NUMBER: 147:143154
 TITLE: Pharmaceutical compositions containing 2-alkoxybenzene derivatives as tachykinin receptor antagonists
 INVENTOR(S): Nishi, Takehide; Takemoto, Toshiyasu; Yamaguchi, Takeshi
 PATENT ASSIGNEE(S): Daiichi Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.
 CODEN: J00XAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	AFFILIATION NO.	DATE
JP 200216397	A2	20021031	JP 2001122866	20010420
PRIORITY APPN. INFO:			JP 2001-144666	20010420
OTHER SOURCE(S):		MARIAT 147:143154		

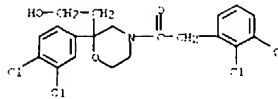


AB Compns., useful for treatment of respiratory tract diseases such as asthma, bronchitis, allergic diseases, emphysema, etc., such as 1-[(2-[(13R)-3,4-dichlorophenyl]-1,2-methoxy-5-(tetrazol-1-yl)benzyl)pyrrolidin-3-yl]ethylspiro[[1,25]-hydroxyindole 1,4'-piperidine], 1). IC50 of 1 (propn. given) for binding of substance P by NK1 receptors of guinea pig crude lung membrane prepn. was 0.88 nM. Pharmaceutical formulations were also given.

IT 191673-56-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of 2-alkoxybenzene derivs. as tachykinin receptor antagonists)
 PN 191673-56-0 CAPLUS
 CN 2-Morpholinomethanol, 2-(3,4-dichlorophenyl)-, (2R)- (9CI) (CA INDEX NAME)

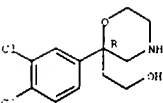
Absolute stereochemistry. Rotation (+).

LS ANSWER 2 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



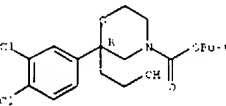
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 4 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



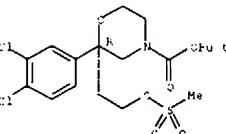
IT 335395-11-4P 335395-13-6P
 RL: RCT (Reactant); SYN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of 2-alkoxybenzene derivs. as tachykinin receptor antagonists)
 RN 335395-11-4 CAPLUS
 CN 4-Morpholinomethanol, 2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 335395-13-6 CAPLUS
 CN 4-Morpholinomethanol, 2-(3,4-dichlorophenyl)-2-[(2-methylsulfonyl)oxy]ethyl-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

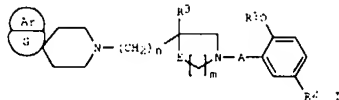
Absolute stereochemistry.



LS ANSWER 4 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:090708 CAPLUS
DOCUMENT NUMBER: 134:01213
TITLE: Preparation of alkoxycarbonyl moiety-containing heterocyclic compounds as tachykinin antagonists
INVENTOR(S): Nishi, Takahide; Takemoto, Yoshiyoshi; Yamaguchi, Takeshi
PATENT ASSIGNEE(S): Sanofi Company, Limited, Japan
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXDP
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACT. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001029627	A1	20010425	WO 2000 JP7744	20001009
W: AU, BR, CA, CN, CZ, DE, ID, IL, IN, KR, MX, NO, NZ, PL, PT, TR, US, ZA				
RW: AT, BE, CH, CY, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 200187799	A2	20010710	JP 2000-320128	20001009
PRIORITY APPLN. INFO.: JP 1999-300593	A	19991022		
OTHER SOURCE(S): MARPAT 134:01213				



AB The title compds. I (R1 represents alkyl; R2 represents optionally substituted 5-membered heterocyclyl contg. nitrogen, or optionally substituted 5-membered heterocyclyl contg. nitrogen; R3 represents optionally substituted aryl, or optionally substituted heterocyclyl; A represents CD or SCD; E represents CH2, G or S; G represents an optionally substituted cycloalkane ring, an optionally substituted cycloalkene ring, or an optionally substituted sat'd. heterocycle; Ar represents an optionally substituted aryl ring, or an optionally substituted heterocyclyl ring; m is 1 or 2; and n is from 2 to 4) are prepd. I have elevated oral availability and show favorable kinetics in vivo. Comps. of this invention are said to show metabolic stability and exhibit NK1, NK2, and NK3 receptor antagonism. Formulations are given.

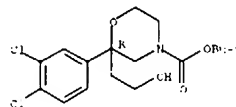
17 **335395-11-4P 335395-13-6P**
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PACT (Reactant or reagent)
(prepn. of alkoxycarbonyl moiety-contg. heterocyclic compds. as tachykinin antagonists)

RN 335395-11-4 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

LS ANSWER 4 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

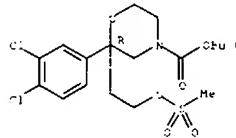
1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 335395-13-6 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-(3,4-dichlorophenyl)-2-(2-[methanesulfonyloxy]ethyl)-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

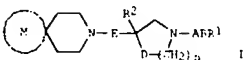


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 5 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:085593 CAPLUS
DOCUMENT NUMBER: 134:141732
TITLE: Spiropiperidines for prevention and treatment of tachykinin-mediated diseases
INVENTOR(S): Nishi, Takahide; Nakajima, Katsuyoshi; Ito, Yukiko; Yamaguchi, Takeshi
PATENT ASSIGNEE(S): Sanofi Co., Ltd., Japan
SOURCE: Jpn. Yakai Tokkyo Koho, 46 pp.
CODEN: JXXNAP
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACT. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001031570	A2	20010206	JP 1999-205485	19990721
PRIORITY APPLN. INFO.: JP 1999-205485				19990721
OTHER SOURCE(S): MARPAT 134:141732				



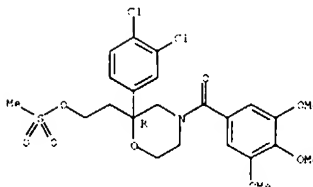
AB Spiropiperidines (I: R1, R2 = (substituted) aryl or heterocyclyl; A = CH2, CD, SCD; F = single bond, alkylene, alkenylene; D = D, S; E = alkylene, alkenylene; M = 1,3, M = (substituted) substituted cyclic alkyl group) and their pharmaceut. acceptable salts are claimed for prevention and treatment of tachykinin-mediated diseases, including asthma, bronchitis, rhinitis, urinary incontinence, and ulcerative colitis. I were prepd., and their formulation examples of powders, granules, capsules, and tablets were given.

17 **151672-58-9**
RI: RCT (Reactant); PACT (Reactant or reagent)
(Spiropiperidines for prevention and treatment of tachykinin mediated diseases)

RN 151672-58-2 CAPLUS
CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)-, methanesulfonate (ester), (2R) (9CI) (CA INDEX NAME)

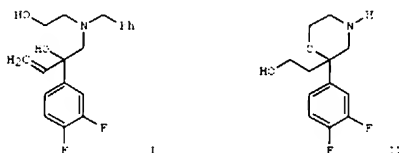
Absolute stereochemistry. Rotation (R).

LS ANSWER 5 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



15 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 ACCESSION NUMBER: 320337-66-6 CAPLUS
 DOCUMENT NUMBER: 134100879
 TITLE: Preparation of 2-(2-aryl-2-morpholinylethanol) as drug intermediates
 INVENTOR(S): Aukonard, Alain; Bernin, Françoise; Soudely, Sarria; Burge, Alain; Gots, Claude; Lucas, Eric
 PATENT ASSIGNEE(S): Sanofi Synthelabo, Fr.
 SOURCE: ECT Int. Appl., 78 pp.
 CODEN: PIXXDE
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY APP. NUM. COUNT: 1
 PATENT INFORMATION:

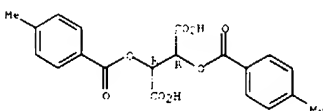
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004105	A1	20010118	WO 2000-FR1966	20000707
W: AB, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DF, DM, DZ, EE, EG, FI, FR, GD, GE, GR, GU, HK, HU, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LG, LR, LS, LT, LU, LV, MA, MG, MK, MN, MW, MX, MY, NG, NI, NL, NO, NZ, PA, PE, PG, PH, PK, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KE, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, KZ, SD, SL, SZ, TZ, US, ZW, AT, BE, CH, CY, DE, DK, EG, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, SJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2796069	A1	20010112	FR 1999 9951	19990705
FR 2796069	B1	20010824		
EP 200002704	A	20000719	FR 2000-12704	20000707
EP 1200417	A1	20020502	EP 2000 948672	20000707
R: AT, BE, CH, DE, DK, EG, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, KO, MY, CY, AU				
JP 2003504362	T2	20030204	JP 2001 509715	20000707
PRIORITY APPL. INFO.: FR 1999 9951 A 19990705 WO 2000-FR1966 W 20000707				
OTHER SOURCE(S): CASREACT 134100879; MARPAT 134100879				
G1				



AB Enantiomeric: RCHCH2CH2OH (R = 3,4-dihalo-phenyl; Z = 2-morpholinylethanol)

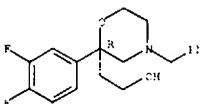
15 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



RN 320337-73-3 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-4-(phenylmethyl)-, hydrochloride, (2R)- (9CI) (CA INDEX NAME)

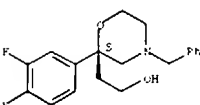
Absolute stereochemistry. Rotation (+).



● HT1

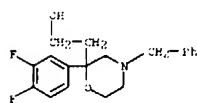
RN 320337-81-3 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-4-(phenylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 320337-86-6 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-(3,4-difluorophenyl)-2-(2-hydroxyethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

15 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 were prepd. Thus, 3,4-F2C6H3COCH2Cl (prepn. given) was condensed with C6H4(OH)2 and the product aminated by PhCH2NH2 to give, after (-)-PhCH(OH)CO2H, treatment, (R)- (+)-PhCH2NHCH2CO2H (CH3CH2)CO2H3F2-3,4 (-)-morpholine acid salt which was treated with oxirane to give aminolutedol (R)- (+)-1. The latter was cyclized and the product converted in 2 steps to title compd. (R)- (+)-1.
 IT 320337-66-6P 320337-67-5P 320337-73-3P 320337-81-3P 320337-86-6P
 RL: IMF (Industrial manufacture); RCT (Reactant); SFN (Synthetic preparation); PPEP (Preparation); RACT (Reactant or reagent) (prepn. of 2-(2-aryl-2-morpholinylethanol) as drug intermediates)
 RN 320337-66-4 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-4-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



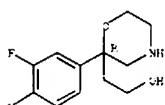
● HT1

RN 320337-67-5 CAPLUS
 CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, [R (R*,R*)]-, compd. with (2R)-2-(3,4-difluorophenyl)-2-morpholineethanol (1:1) (9CI) (CA INDEX NAME)

CH 1

GRN 218792-44-4
 CMF C12 H15 F2 N O2

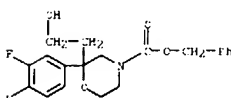
Absolute stereochemistry. Rotation (+).



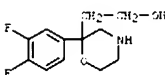
CH 2

GRN 32634-66-5
 CMF C20 H19 O4

15 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

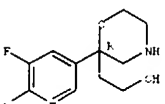


IT 202744-82-9P 218292-43-4P 320337-82-4P
 RL: IMF (Industrial manufacture); SFN (Synthetic preparation); PPEP (Preparation) (prepn. of 2-(2-aryl-2-morpholinylethanol) as drug intermediates)
 RN 202744-82-9 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



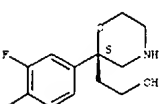
RN 218292-43-4 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 320337-82-4 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-, (2S)- (9CI) (CA INDEX NAME)

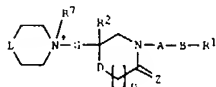
Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2000:865349 CAPLUS
 DOCUMENT NUMBER: 134:25761
 TITLE: Heterocyclic ring derivatives with alicyclic ring acylation for treatment of tachykinin-related diseases
 INVENTOR(S): Nishi, Takekider, Yamaguchi, Takeshi
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 146 pp.
 CODEN: JKKXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY APP. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000344670	A2	20001212	JP 1999-155948	19990602
PRIORITY AFFIL. INFO:			JP 1599-151842	19990602
OTHER SOURCE(S):		MARPAT 134:25761		

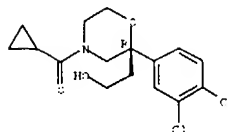


AB Heterocyclic ring deriva. with alicyclic ring acylation (1: R1 = (substituted) cycloalkyl; and, 2: R2 = (substituted) aryl and heteroaryl; A = methylene, carbonyl, sulfinyl; B = single bond, alkylene, alkenylene; D = O, S; G = alkylene, alkenylene; L = N(R3)-, C(R4)(R5), with R3 = (substituted) aryl; and heteroaryl; R4 = H, (substituted) aryl heteroaryl, cycloalkyl; and 3: R5 = amino(substituted)alkyl, amino, (substituted) arylomino, OH, (substituted)hydrazyl, alkyl, -SO-R6, with R6 = alkyl, alkoxy, amine residue, etc.); R7 = alkyl; Z = 2H, Or N = 0, 1, (2) and their pharmaceut. acceptable salts and esters are claimed as selective NK2 receptor antagonists and for treatment of tachykinin-related diseases, including asthma, bronchitis, allergy, rhinitis, urinary incontinence. Formulating examples of 1: powders, granules, tablets, and capsules were given.

IT 226699-62-3 226699-64-5 226699-66-7
 226699-74-7 226699-82-7 226700-07-8
 312261-50-0
 RI: RCT (Reactant); RACT (Reactant); 1: reagent;
 (Heterocyclic ring deriva. with alicyclic ring acylation for treatment of tachykinin related diseases)
 RN 226699-62-3 CAPLUS
 CN 2-Morpholineethanol, 4-(cyclopropylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)- (5CI) (CA INDEX NAME)

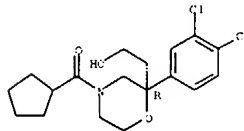
Absolute stereochemistry.

L5 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



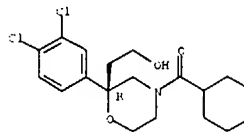
RN 226699-64-5 CAPLUS
 CN 2-Morpholineethanol, 4-(cyclopropylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)- (5CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 226699-66-7 CAPLUS
 CN 2-Morpholineethanol, 4-(cyclohexylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)- (5CI) (CA INDEX NAME)

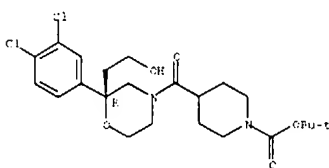
Absolute stereochemistry.



RN 226699-74-7 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-4-morpholinyl]carbonyl]-, 1,1-dimethylethyl ester (5CI) (CA INDEX NAME)

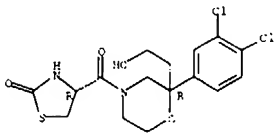
Absolute stereochemistry.

L5 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



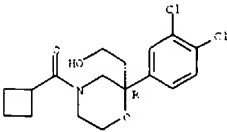
RN 226699-82-7 CAPLUS
 CN 2-Morpholineethanol, 4-[[[(2R)-2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-4-morpholinyl]carbonyl]-, 1,1-dimethylethyl ester (5CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 226700-07-6 CAPLUS
 CN 2-Morpholineethanol, 4-(cyclobutylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)- (5CI) (CA INDEX NAME)

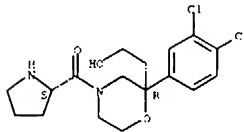
Absolute stereochemistry.



RN 312261-50-0 CAPLUS
 CN 2-Morpholineethanol, 4-(cyclopentylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)- (5CI) (CA INDEX NAME)

Absolute stereochemistry.

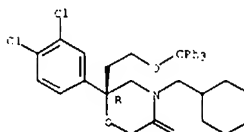
L5 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT 226699-92-9P 312261-45-3P 312261-46-4P
 312261-47-5P 312261-49-7P 312261-51-1P
 312261-52-2P 312261-53-3P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant); 2: reagent;
 (Heterocyclic ring deriva. with alicyclic ring acylation for treatment of tachykinin related diseases)

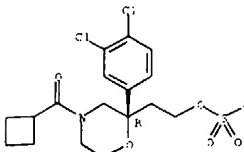
RN 226699-92-9 CAPLUS
 CN 3-Morpholine, 4-(cyclohexylmethyl)-6-(3,4-dichlorophenyl)-6-[2-(triphenylmethoxy)ethyl]-, (6R)- (5CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312261-45-3 CAPLUS
 CN 2-Morpholineethanol, 4-(cyclobutylcarbonyl)-2-(3,4-dichlorophenyl)-, methanesulfonate (ester), (2R)- (5CI) (CA INDEX NAME)

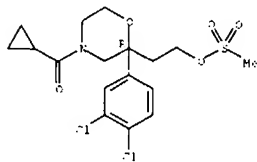
Absolute stereochemistry.



RN 312261-46-4 CAPLUS
 CN 2-Morpholineethanol, 4-(cyclopentylcarbonyl)-2-(3,4-dichlorophenyl)-, methanesulfonate (ester), (2R)- (5CI) (CA INDEX NAME)

15 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

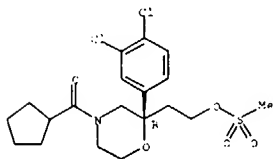
Absolute stereochemistry.



RN 312261-47-5 CAPLUS

CN 2-Morpholineethanol, 4-(cyclopentylthio)-2-[(3,4-dichlorophenyl)methanesulfonate (ester)], (2R)- (9C1) (CA INDEX NAME)

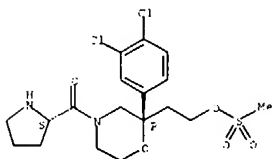
Absolute stereochemistry.



RN 312261-49-7 CAPLUS

CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(2S)-2-pyridinidinylthio]thio]-, methanesulfonate (ester), (2R)- (9C1) (CA INDEX NAME)

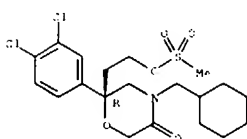
Absolute stereochemistry.



RN 312261-51-1 CAPLUS

CN 2-Piperidineethanol, 4-[(2R)-2-[(3,4-dichlorophenyl)-4-[(2S)-2-pyridinidinylthio]thio]-, methanesulfonate (ester)], (2R)- (9C1) (CA INDEX NAME)

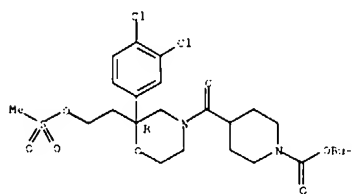
15 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



15 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

[(methylsulfonyl)oxy]ethyl]-4-morpholinyl]carbonyl], 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

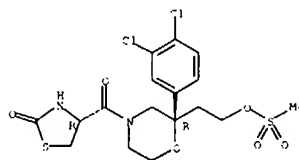
Absolute stereochemistry.



RN 312261-52-2 CAPLUS

CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(2R)-2-oxo-4-thiazolidinyl]carbonyl]-, methanesulfonate (ester), (2R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



RN 312261-54-3 CAPLUS

CN 3-Morpholineethanol, 4-[(3,4-dichlorophenyl)-6-[(2-methylsulfonyl)oxy]ethyl]-, (6R)- (9C1) (CA INDEX NAME)

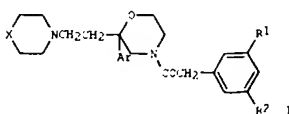
Absolute stereochemistry.



15 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 20001707149 CAPLUS
DOCUMENT NUMBER: 133:281791
TITLE: Preparation of novel morpholine derivatives and their affinity for human NK1 receptors of substance P
INVENTOR(S): Douroux, Jean Philippe; Emonds Alt, Xavier; Gueule, Patrick; Fioletto, Vincenzo
PATENT ASSIGNER(S): Sanofi Synthelabo, Fr.
SOURCE: EPT Int. Appl., 72 pp.
CODEN: FIKX02
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

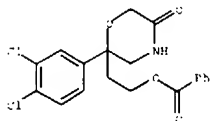
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058292	A1	2000/09/05	WO 2000-FR695	2000/03/21
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GR, SE, HU, GM, HR, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NC, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, T2, TH, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, US, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, SF, SI, CF, CG, CI, CM, SA, SN, GW, ML, HR, NF, SM, TD, TG				
FR 2791346	A1	2000/09/05	FR 1999-3854	1999/03/25
FR 2791346	R3	2001/04/27		
EP 1165528	A1	2002/01/22	EP 2000-011009	2000/03/21
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LV, NL, SE, MC, PT, IE, SI, TJ, LV, FI, RO				
BR 2000099281	A	2002/01/22	FR 2000-9281	2000/03/21
JP 2002540195	T2	2002/11/26	JP 2000-607795	2000/09/21
SE 200102495	A	2002/01/22	SE 2001-499	2000/03/21
AU 756855	B2	2003/01/23	AU 2000-33016	2000/03/21
AM 2000034516	A5	2000/10/16		
NZ 513674	A	2000/09/05	NZ 2000-513674	2000/03/21
ZA 2001000195	A	2002/01/22	ZA 2001-0561	2001/06/23
SG 165923	A	2002/05/31	SG 2001-195123	2001/09/19
NO 2001004632	A	2001/11/26	NO 2001-4632	2001/09/24
US 6566750	B1	2003/01/14	US 2001-937279	2001/09/24
HR 2001000794	A1	2002/08/31	HR 2001-704	2001/09/25
PRIORITY APPLN. INFO:			FR 1999-3854	A 1999/03/25
OTHER SOURCE(S):			WO 2000-FR695	W 2000/03/21
SI			MANPAT 133:281791	



AB The title compds: 1 [Ar = substituted Ph] X = R2N, R3CH; R1 = Cl, Br,

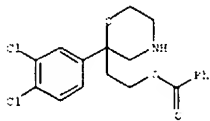
15 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
alkyl; CF3; R2 = alkyl, cycloalkyl, (CH2)5CONHCH3, exhibiting a strong
affinity and high degree of selectivity with respect to human NK1
receptors of substance P, were prepd. Ex.: (1) 2-[(2-(4-
cyclohexylpiperazin-1-yl)ethyl)-2-(3,4-dichlorophenyl)-4-[(3,5-
dichlorophenyl)acetyl]morpholine dihydrochloride was prepd.
IT 299427-98-8P 299427-99-9P 299428-00-5P
299428-05-0P 299428-06-1P 299428-07-2P
299428-08-3P 299428-09-4P 299428-10-7P
299428-11-8P 299428-12-9P 299428-13-0P
299428-15-2P 299428-16-3P 299428-20-9P
R1: RCT (Reactant); STN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of morpholine deriva. and their affinity for human NK1
receptors of substance P)
RN 299427-98-8 CAPLUS
CN 3 Morpholine, 6-[(2-(benzylalkylethyl)-6-(3,4-dichlorophenyl)-1-yl)
(9CI) (CA INDEX NAME)

Rotation (-).



RN 299427-99-9 CAPLUS
CN 2 Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(3,5-dimethylphenyl)acetyl]-
(ester), (-)- (9CI) (CA INDEX NAME)

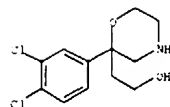
Rotation (-).



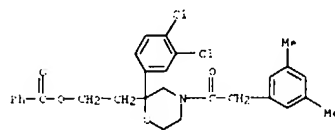
RN 299428-00-5 CAPLUS
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(3,5-dimethylphenyl)acetyl]-
(ester), (-)- (9CI) (CA INDEX NAME)

Rotation (-).

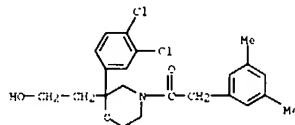
15 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 299428-05-0 CAPLUS
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(3,5-dimethylphenyl)acetyl]-
(ester), (-)- (9CI) (CA INDEX NAME)

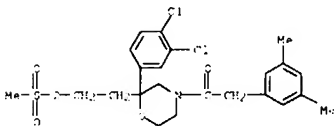


RN 299428-06-1 CAPLUS
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(3,5-dimethylphenyl)acetyl]-
(ester), (-)- (9CI) (CA INDEX NAME)

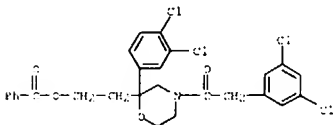


RN 299428-07-2 CAPLUS
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(3,5-dimethylphenyl)acetyl]-
(ester), (-)- (9CI) (CA INDEX NAME)

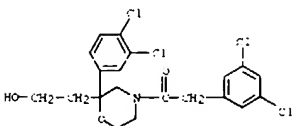
15 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



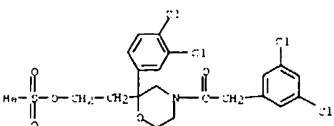
RN 299428-08-3 CAPLUS
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(3,5-dichlorophenyl)acetyl]-
(ester), (-)- (9CI) (CA INDEX NAME)



RN 299428-09-4 CAPLUS
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(3,5-dichlorophenyl)acetyl]-
(ester), (-)- (9CI) (CA INDEX NAME)

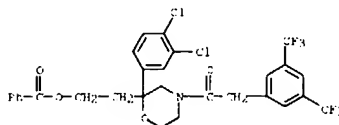


RN 299428-10-7 CAPLUS
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(3,5-dichlorophenyl)acetyl]-
(ester), (-)- (9CI) (CA INDEX NAME)

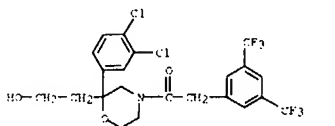


15 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

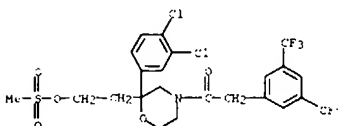
RN 299428-11-8 CAPLUS
CN 2-Morpholineethanol, 4-[[[3,5-bis(trifluoromethyl)phenyl]acetyl]-2-(3,4-
dichlorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)



RN 299428-12-9 CAPLUS
CN 2-Morpholineethanol, 4-[[[3,5-bis(trifluoromethyl)phenyl]acetyl]-2-(3,4-
dichlorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)

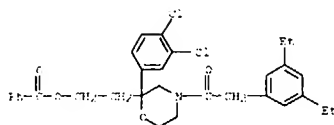


RN 299428-13-0 CAPLUS
CN 2-Morpholineethanol, 4-[[[3,5-bis(trifluoromethyl)phenyl]acetyl]-2-(3,4-
dichlorophenyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

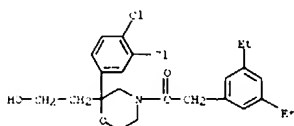


RN 299428-14-2 CAPLUS
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(3,5-dimethylphenyl)acetyl]-
(ester), (-)- (9CI) (CA INDEX NAME)

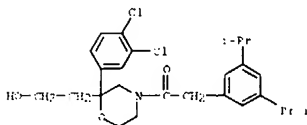
L5 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 299428 16-3 CAPLUS
CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[(3,5-dimethylphenyl)acetyl]- (9CI) (CA INDEX NAME)



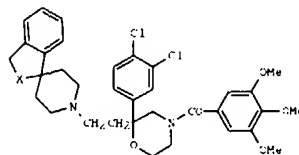
RN 299428 20-9 CAPLUS
CN 2-Morpholineethanol, 4-[(3,5-bis(1-methyl-ethyl)phenyl)acetyl]-2-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:508635 CAPLUS
DOCUMENT NUMBER: 133:281740
TITLE: Combined tachykinin receptor antagonist: synthesis and stereochemical structure-activity relationships of novel morpholine analogues
AUTHOR(S): Nishi, T.; Ishibashi, K.; Takemoto, T.; Nakajima, K.; Fukazawa, T.; Ito, Y.; Itoh, K.; Mukaiyama, O.; Yamaguchi, T.
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Sankyo Co., Ltd., Tokyo, 140-8710, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(15), 1665-1668
CODEN: BMCLFF; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:281740
GI



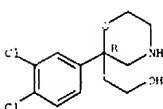
AB The authors report herein the synthesis and stereochem. structure-activity relations of novel morpholine analogs 1 (X = SiO₂, CHOH) with regards to NK1, NK2 and NK3 tachykinin receptor binding affinity. An essential requirement for more potent binding affinities was controlled by abs. configuration. (5R)-1 (X = SiO₂) and (5R)-1 (X = CHOH) exhibited high binding affinities for NK1, NK2 and NK3 receptors.
IT 191673-56-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant for prepn. of arylmorpholinylethanol as tachykinin receptor antagonists)
RN 191673-56-0 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-, (2R) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L5 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:457067 CAPLUS
DOCUMENT NUMBER: 133:89533
TITLE: Method for preparing (R)-(+)-3-[1-[2-[4-benzoyl-2-(3,4-difluorophenyl)morpholin-2-yl]ethyl]-4-phenylpiperidin-4-yl]-1,1-dimethylurea
INVENTOR(S): Aulombard, Alain; Bernon, Françoise; Bonnetry, Sabrina; Burgeot, Alain; Caboc, Claude; Luluc, Eric
PATENT ASSIGNEE(S): Synbio-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PXXXX2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACT. NUM. COUNT: 1
PATENT INFORMATION:

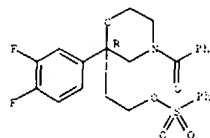
PATENT NO.	WIND	DATE	APPLICATION NO.	DATE
WO 2000039126	A1	20000706	WO 1999-FR3123	19991214
W: AF, AL, AM, AT, AU, AZ, BA, BR, BG, BF, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, IE, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, FI, PT, RC, RD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BI, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2787790	A1	20000630	FR 1998-16410	19981223
EP 1140923	A1	20011010	EP 1999 958317	19991214
EP 1140923	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002533462	T2	20021208	JP 2000-591037	19991214
JP 3388232	B2	20030317		
AT 233759	E	20030315	AT 1999-958317	19991214
US 6392039	B1	20020521	US 2001-068562	20010619
PRIORITY APPL. INFO.:			FR 1998-16410	A 19981223
			WO 1999-FR3123	19991214

AB The title compd. was prepd. by dimethylureaalkylation of (+)-[2-[2-(4-amino-4-phenylpiperidin-1-yl)ethyl]-2-(3,4-difluorophenyl)morpholin-4-yl]phenylethanol.

IT 280766-21-4P
RL: IMF (Industrial manufacture); RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (method for prepn. (R)-(+)-3-[1-[2-[4-benzoyl-2-(3,4-difluorophenyl)morpholin-2-yl]ethyl]-4-phenylpiperidin-4-yl]-1,1-dimethylurea)
RN 280766-21-4 CAPLUS
CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, benzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L5 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



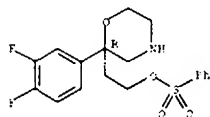
IT 280766-23-8

KL: RCT (Reactant): RACT (Reactant or reagent)
 (method for prep. of (R)-1-(3-[[1-[2-[4-phenyl-2-(3,4-difluorophenyl)morpholin-2-yl]ethyl]-4-phenylpiperidin-4-yl]-1,1-dimethylurea])

RN 280766 23 8 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-difluorophenyl), benzenesulfonate (ester), (2R) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:401822 CAPLUS

DOCUMENT NUMBER: 133:30735

TITLE: Preparation of (morpholinylalkyl)cyclohexylpiperidine derivatives as tachykinin antagonists
 Nishi, Takahide; Takemoto, Toshiyasu; Yamaguchi, Takeshi

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PXXXX2

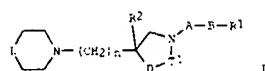
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY APP. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	IND. DATE	APPLICATION NO.	DATE
WO 2000034274	A1	20000615	1999-12-10
W: AU, BR, CA, CN, CZ, DE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
RW: AT, BE, CH, CY, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
JP 2000229968	A2	20000622	1999-12-10
PRIORITY AFFIL. INFO:		JP 1998-351286	A 19981210
OTHER SOURCE(S):		MARKET 133:30735	



AB The title compds. 1 [1-(CH₂)_m R₁ and R₂ are each optionally substituted aryl or optionally substituted heteroaryl; A is CH₂, CO or SO₂; B is a single bond, alkylene or alkenylene; D is O or S; L is NR₃ or CR₃(R₄); R₃ is optionally substituted cycloalkyl or an optionally substituted satd. heterocyclic group; R₄ is alkyl, amido, acylamino, etc.; m is 0, 1 or 2; and n is 1 to 6] are prepd. in an in vitro test for NK1 receptor antagonism. 1 [2-[[2M]-[3,4-dichlorophenyl]-4-(3,4,5-trimethoxybenzoyl)morpholin-2-yl]ethyl]-4-cyclohexylpiperidine-4-carboxamide showed IC₅₀ of 22 nM. Formulations are given.

IT 273378-25-9 273378-26-0

KL: RCT (Reactant): RACT (Reactant or reagent)
 (prep. of (morpholinylalkyl)cyclohexylpiperidine derivs. as tachykinin antagonists)

RN 273378-25 9 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)-, (2R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

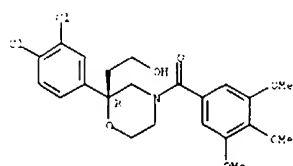
CM 1

CRN 191673-57-1

CMF C22 H25 Cl2 N O6

L5 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry. Rotation (+).



CM 2

CRN 75-75-2

CMF C14 H13 S



RN 273378-26-0 CAPLUS

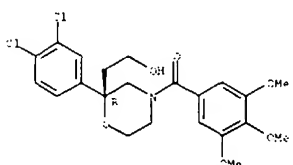
CN Benzenesulfonate, 2-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)-2-morpholineethanol, (2R)-, (9CI) (CA INDEX NAME)

CM 1

CRN 191673-57-1

CMF C22 H25 Cl2 N O6

Absolute stereochemistry. Rotation (+).

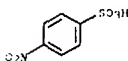


CM 2

CRN 128 42 1

L5 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CMF C6 H5 N O5 S



REFERENCE COUNT:

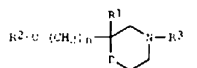
15

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

15 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:302442 CAPLUS
 DOCUMENT NUMBER: 172:308446
 TITLE: Preparation of morpholines or thiomorpholines
 INVENTOR(S): Nishi, Takahide; Takenori, Toshiyasu
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
 CODES: JKKKAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ASS. NUM. COUNT: 1
 PATENT INFORMATION:

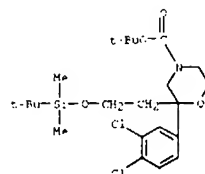
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000128872	A2	20000509	JP 1998-101895	19981024
PRIORITY ATTN. INFO.:			JP 1998-301886	19981023
OTHER SOURCE(S):			CASREACT 172:308446; MARPAT 182:308446	



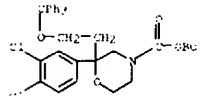
AB Title: Morph. 1 (D, L, S; R1 = (un)substituted aryl, heteraryl; R2 = OR-protecting group; R3 = NH2-protecting group; n = 1-6) are prep. by reaction of CH2=CH(R1)CH2CH(R2)CH2CH(R3) (R1, R2, n = same as 1) with HO(CH2)2NH(R3) (R3, n = same as 1) and halogenating agents and cyclization of XCH2CH(R1)(OR)CH2CH(R2)CH2CH(R3) (X = hal; R1, R2, n = same as 1; X = hal) with bases. 4-[1-(2-(triphenylmethoxyethyl)vinyl)-1,2-dichlorobenzene was reacted with tert-Bu (2-hydroxyethyl)carbamate and N-indolanzinamide in MeCN at 70.degree. for 1.5 h to give 63% tert-Bu 2-[3-(triphenylmethoxy-1-(3,4-dichlorophenyl)-1-iodomethylpropoxy)ethyl]carbamate, which was cyclized in the presence of NaH in DMF at 70.degree. for 2 h to give 77% tert-Bu 2-[2-(triphenylmethoxyethyl)-2-(3,4-dichlorophenyl)morpholine-4-carboxylate].

1T 191673-51-5P 265998-02-5P
 RI: DMF (Industrial manufacture); SFN (Synthetic preparation); FREE (Preparation)
 (prepn. of morpholines by addn. of arom. vinyl ethyl ethers with hydroxyethylamines and halogenating agents and cyclization of propoxyethylamines)
 RN 191673-51-5 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[(3,4-dichlorophenyl)-2-(2-[(1,1-dimethylethyl)dimethylsilyloxy]ethyl)-1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

15 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 265998-02-5 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[(3,4-dichlorophenyl)-2-(2-(triphenylmethoxy)ethyl)-1,1-dimethylethyl ester (SCI) (CA INDEX NAME)



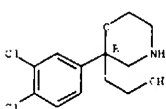
15 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:314957 CAPLUS
 DOCUMENT NUMBER: 133:4627
 TITLE: A versatile method for the preparation of 2,2-disubstituted morpholine analogues
 AUTHOR(S): Takemoto, Toshiyasu; Ito, Yukiko; Nishi, Takahide
 CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Sankyo Co., Ltd, Tokyo, 140-8710, Japan
 SOURCE: Tetrahedron Letters (2000), 41(11), 1785-1788
 CODES: TELPAY; ISSN: 0040-4039
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 133:4627

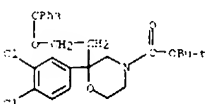
AB A versatile synthetic method for the prepn. of 2,2-disubstituted morpholines is described. Iod-etherification of 1,1-disubstituted olefins with (tert-butoxycarbonyl)amine(ethanol) using t-butoxynitride proceeded smoothly in a regioselective manner and the following cyclization was accomplished in good yield. This method was successfully applied for the prepn. of a key intermediate of a tachykinin receptor antagonist.

1T 191673-56-0P
 RI: PUR (Purification or recovery); SFN (Synthetic preparation); FREE (Preparation)
 (prepn. of morpholines)
 RN 191673-56-0 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-, (2R)- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

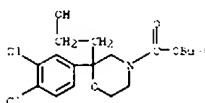


1T 265998-02-5P 271245-43-3P
 RI: RCT (Reactant); SFN (Synthetic preparation); FREE (Preparation); RCT (Reactant or reagent)
 (prepn. of morpholines)
 RN 265998-02-5 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[(3,4-dichlorophenyl)-2-(2-(triphenylmethoxy)ethyl)-1,1-dimethylethyl ester (SCI) (CA INDEX NAME)



RN 271245-43-3 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

15 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

15 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RE: PCT (Reactant); RACT (Reactant or reagent)
 (prepn. of spirapiperidine and spirapiperidinium
 derivatives exhibiting antagonism to all of NK1, NK2
 and NK3 receptors)
 RN 191673-58 2 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzyl)-,
 methanesulfonate (ester); (2R)- (9C) (CA INDEX NAME)
 Absolute stereochemistry. Rotation (+).
 INVENTOR(S): Nishi, Takahide; Yamaguchi, Takeshi; Ito, Yukiko;
 Takemoto, Toshiyuki; Nakajima, Katsuyoshi;
 PATENT ASSIGNER(S): Sankey Company, Limited, Japan
 SOURCE: PCT Int. Appl., 98 pp.
 COUEN: 11XK2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY APP. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837642	A1	19980729	WO 1998-12276	19980122
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NL, NZ, PL, RU, TR, US				
FW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2318361	AA	19990729	CA 1999-2318361	19990122
AU 9920739	A1	19990809	AU 1999-20739	19990122
EP 141924	B2	20011114		
JP 2000034286	A2	20000202	JP 1999-14958	19990122
BR 9907174	A	20000719	BR 1999-7174	19990122
EP 1057827	A1	20001206	EP 1999-901174	19990122
EP 1057827	B1	20030910		
R: AT, BE, CH, CY, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, UK, FI				
RU 2184735	C2	20020710	RU 2000-118815	19990122
NZ 505599	B	20030606	NZ 1999-505599	19990122
AT 249435	E	20030915	AT 1999-901134	19990122
US 6511275	F1	20030128	US 2000-016092	20000714
NO 200203630	A	20030922	NO 2000-3630	20000714
PRIORITY APPL. INFO.:			JP 1998-11112	A 19980123
			JP 1998-10659	A 19980115
			WO 1999-3F126	W 19990122

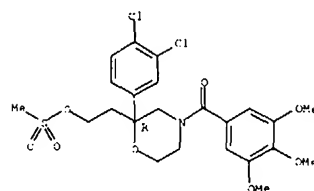
OTHER SOURCE(S): MARPAT 131:116241
 51 For diastereois, see printed CA issue.
 AB Compd. having general formula (I) or (II), pharmaceut. acceptable salts thereof and esters or other derivs. of the same: [R1, R2 = optionally substituted aryl or heteroaryl; A = CH2, CO, SO2; B = single bond, alkylene, alkenylene; D = C, S; E = alkylene, alkenylene; G, G1, G2 ring; G = optionally substituted C5-8 cycloalkene ring or C5-8 cycloalkane; Ar = optionally substituted aryl ring or heteroaryl ring; R = lower alkyl; n = 1-3], which are useful for the treatment and prevention of asthma, and/or bronchitis, rhinitis, allergy, and urinary incontinence (to date), are prepd. Thus, a suspension of 2-[(2R)-[3,4-dichlorophenyl]-4-(3,4,5-trimethoxybenzyl)morpholin-2-yl]ethyl methanesulfonate, spirapiperidine-1,4'-piperidine hydrochloride, NaHCO3, and Et3N in DMF was stirred at 80 degree for 8 h to give 73% 1-[2-[(2R)-[3,4-dichlorophenyl]-4-(3,4,5-trimethoxybenzyl)morpholin-2-yl]ethyl]piperidine-1,4'-piperidine, (III). A dispersant contg. III was formulated.
 17 191673-58-2

15 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RE: PCT (Reactant); RACT (Reactant or reagent)
 (prepn. of spirapiperidine and spirapiperidinium
 derivatives exhibiting antagonism to all of NK1, NK2
 and NK3 receptors)
 RN 191673-58 2 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzyl)-,
 methanesulfonate (ester); (2R)- (9C) (CA INDEX NAME)
 Absolute stereochemistry. Rotation (+).
 INVENTOR(S): Nishi, Takahide; Yamaguchi, Takeshi;
 PATENT ASSIGNER(S): Sankey Company, Limited, Japan
 SOURCE: PCT Int. Appl., 98 pp.
 COUEN: 11XK2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY APP. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837642	A1	19980729	WO 1998-12276	19980122
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NL, NZ, PL, RU, TR, US				
FW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2312770	AA	19990809	CA 1999-2312770	19990122
AU 9913523	A1	19990809	AU 1999-13523	19990122
EP 1124080	B2	20011208		
JP 1124080	A2	20000202	JP 1998-345926	19980124
BR 9815348	A	20000719	BR 1998-15348	19980124
EP 1048668	A1	20001102	EP 1998-457185	19980124
R: AT, BE, CH, CY, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, UK, FI				
RU 2174122	C1	20010527	RU 2000-114184	19980124
CN 1121358	F	20030917	CN 1998-113454	19980124
NZ 505599	B	20030606	NZ 1999-505599	19990122
US 6248609	B1	20011291	US 2000-086728	20000905
PRIORITY APPL. INFO.:			JP 1997-334628	A 19970124
			WO 1998-3F5590	W 19980124

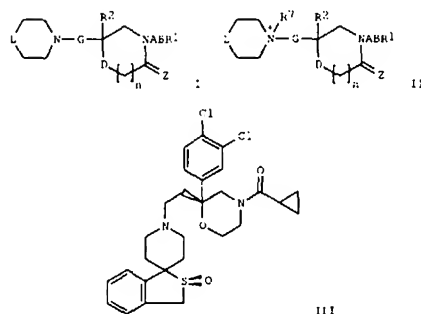
OTHER SOURCE(S): MARPAT 131:11947
 61

15 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RE: PCT (Reactant); RACT (Reactant or reagent)
 (prepn. of spirapiperidine and spirapiperidinium
 derivatives exhibiting antagonism to all of NK1, NK2 and NK3 receptors as therapeutics)
 RN 191673-58 2 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzyl)-,
 methanesulfonate (ester); (2R)- (9C) (CA INDEX NAME)
 Absolute stereochemistry. Rotation (+).
 INVENTOR(S): Nishi, Takahide; Yamaguchi, Takeshi; Ito, Yukiko;
 Takemoto, Toshiyuki; Nakajima, Katsuyoshi;
 PATENT ASSIGNER(S): Sankey Company, Limited, Japan
 SOURCE: PCT Int. Appl., 98 pp.
 COUEN: 11XK2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY APP. NUM. COUNT: 1
 PATENT INFORMATION:



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

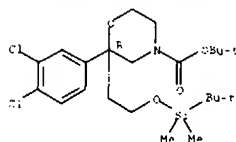
15 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



AB Claimed and prepd. are compds. represented by general formula (I or II): R1 = C3-7 cycloalkyl, (un)substituted 3-7 membered satd. heterocyclyl, substituted cycloalkyl; R2 = (un)substituted aryl or heteroaryl; A = CH2, CO, SO2; B = single bond, C1-4 alkylene, C2-4 alkenylene; D = C, S; G = C1-4 alkylene, C2-4 alkenylene; L = NR3, CH4R5; where R3 = (un)substituted aryl or heteroaryl; R4 = H, (un)substituted aryl, heteroaryl, cycloalkyl, or 3-7 membered satd. heterocyclyl; R5 = lower alkyl, NH2, acylamino, acylamino-lower alkyl, N-(lower alkyl)acylamino lower alkyl, OH, lower alkoxy, etc.; or CH3R4 = C5-8 cycloalkane or cycloalkene, (un)substituted 5-8 membered satd. heterocyclyl optionally fused with (un)substituted aryl or heteroaryl (R11); R6 = lower alkyl, a = m, or b = n, having a selective antagonism to NK2 receptors and pharmaceut. acceptable salts or derivs. thereof. These compds. are useful for the treatment or prevention of asthma, bronchitis, rhinitis, allergy, and urinary incontinence. Thus, 2-[(2R)-[3,4-dichlorophenyl]-4-(3,4,5-trimethoxybenzyl)morpholin-2-yl]ethanol methanesulfonate (prepn. given) was condensed with spirapiperidine-1,4'-piperidine-25-oxide hydrochloride in the presence of NaHCO3 and Et3N in DMF at 80 degree for 8 h to give the title compd. (III). In a NK2 receptor binding assay, III showed IC50 of 0.76 nM for inhibiting the binding of [3H]-SA-48968 to crude alum. membrane prepn. from male Hartley guinea pig. Pharmaceutical formulations, e.g. a granule formulation contg. III, were described.
 191673-60-6
 RE: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of alkylicyl acylated heterocyclyl derivs. as antagonists of tachykinin NK2 receptor for therapeutic use)
 RN 191673-58 2 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-(3,4-dichlorophenyl)-2-[2-[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester, (2R)- (9C) (CA INDEX NAME)
 Absolute stereochemistry. Rotation (+).

17 191673-60-6
 RE: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of alkylicyl acylated heterocyclyl derivs. as antagonists of tachykinin NK2 receptor for therapeutic use)
 RN 191673-58 2 CAPLUS
 CN 4-Morpholinecarboxylic acid, 2-(3,4-dichlorophenyl)-2-[2-[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester, (2R)- (9C) (CA INDEX NAME)
 Absolute stereochemistry. Rotation (+).

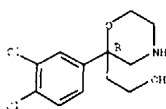
L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



LN 191673-56-0P 226699-62-3P 226699-63-4P
 226699-64-5P 226699-65-6P 226699-66-7P
 226699-67-8P 226699-68-9P 226699-69-0P
 226699-74-7P 226699-77-0P 226699-82-7P
 226699-84-9P 226699-92-9P 226699-94-1P
 226699-95-2P 226700-07-8P 226700-09-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of allylic-like arylated heterocyclic derivs. as antagonists of tachykinin NK2 receptor for therapeutic use)

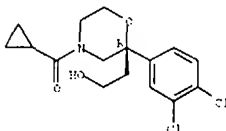
RN 191673-56-0 CAPLUS
 CN 2-Morpholineethanol, 4-((cyclopropylcarbonyl)-2-(3,4-dichlorophenyl))- (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 226699-62-3 CAPLUS
 CN 2-Morpholineethanol, 4-((cyclopentylcarbonyl)-2-(3,4-dichlorophenyl))- (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

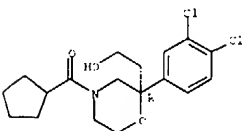


RN 226699-63-4 CAPLUS
 CN 2-Morpholineethanol, 4-((cyclopentylcarbonyl)-2-(3,4-dichlorophenyl))- (2R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CN 226699-64-5
 CMF C18 H23 Cl2 N O3

Absolute stereochemistry.



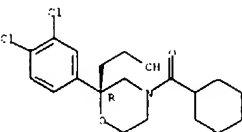
CM 2

CN 75-75-2
 CMF C14 H13 S



RN 226699-65-7 CAPLUS
 CN 2-Morpholineethanol, 4-((cyclohexylcarbonyl)-2-(3,4-dichlorophenyl))- (2R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 226699-67-8 CAPLUS
 CN 2-Morpholineethanol, 4-((cyclohexylcarbonyl)-2-(3,4-dichlorophenyl))- (2R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CN 226699-68-9
 CMF C17 H25 Cl2 N O3

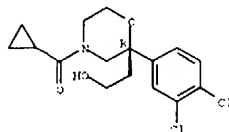
Absolute stereochemistry.

L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 1

CN 226699-62-3
 CMF C18 H19 Cl2 N O3

Absolute stereochemistry.



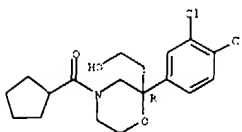
CM 2

CN 75-75-2
 CMF C14 H13 S



RN 226699-64-5 CAPLUS
 CN 2-Morpholineethanol, 4-((cyclopentylcarbonyl)-2-(3,4-dichlorophenyl))- (2R)- (9CI) (CA INDEX NAME)

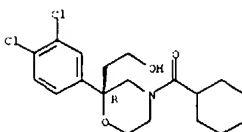
Absolute stereochemistry.



RN 226699-65-6 CAPLUS
 CN 2-Morpholineethanol, 4-((cyclopentylcarbonyl)-2-(3,4-dichlorophenyl))- (2R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



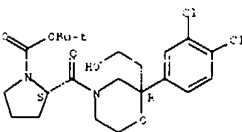
CM 2

CN 75-75-2
 CMF C14 H13 S



RN 226699-66-9 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-(((2R)-2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-4-morpholinyl)carbonyl)-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



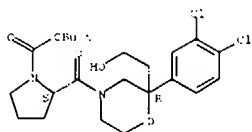
RN 226699-69-0 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-(((2R)-2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-4-morpholinyl)carbonyl)-, 1,1-dimethylethyl ester, (2S)-, nonmethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CN 226699-68-9
 CMF C22 H30 Cl2 N2 O5

Absolute stereochemistry.

L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



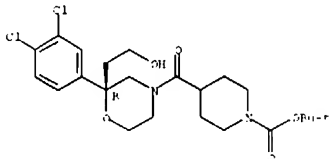
CH 2

CRN 75-75-2
CMF C H4 O3 S

RN 226699-74-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(4R)-2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-4-morpholinyl]carbonyl]-, 1,1-dimethylethyl ester, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



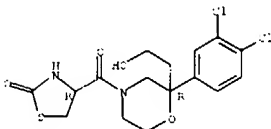
RN 226699-77-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(4R)-2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-4-morpholinyl]carbonyl]-, 1,1-dimethylethyl ester, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 226699-74-7
CMF C24 H32 Cl2 N2 O5L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C16 H18 Cl2 N2 O4 S

Absolute stereochemistry.



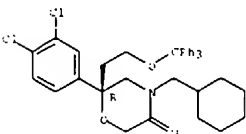
CH 2

CRN 75-75-2
CMF C H4 O4 S

RN 226699-92-9 CAPLUS

CN 3-Morpholinone, 4-(cyclohexylmethyl)-6-(3,4-dichlorophenyl)-6-(2-(triphenylmethyl)ethyl)-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



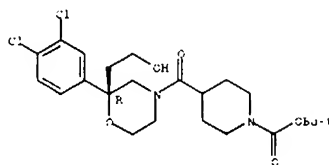
RN 226699-94-1 CAPLUS

CN 3-Morpholinone, 4-(cyclohexylmethyl)-6-(3,4-dichlorophenyl)-6-(2-(triphenylmethyl)ethyl)-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



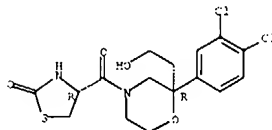
CH 2

CRN 75-75-2
CMF C H4 O4 S

RN 226699-82-7 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[[[(4R)-2-(2-hydroxyethyl)-4-morpholinyl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



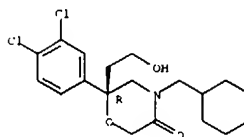
RN 226699-84-9 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[[[(4R)-2-(2-hydroxyethyl)-4-morpholinyl]carbonyl]-, (2R)-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 226699-82-7

L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



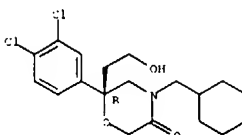
RN 226699-95-2 CAPLUS

CN 3-Morpholinone, 4-(cyclohexylmethyl)-6-(3,4-dichlorophenyl)-6-(2-(triphenylmethyl)ethyl)-, (6R)-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 226699-94-1
CMF C19 H25 Cl2 N O4 S

Absolute stereochemistry.



CH 2

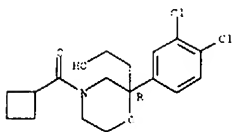
CRN 75-75-2
CMF C H4 O4 S

RN 226700-07-8 CAPLUS

CN 2-Morpholineethanol, 4-(cyclohexylmethyl)-2-(3,4-dichlorophenyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

15 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

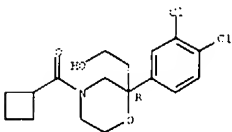


RN 226700 09 9 CAPLUS
CN 2-Morpholinethanol, 4-[(cyclobutylcarbonyl)-2-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-1H-benzothiazol-5-yl]-, methanesulfonate (salt) (91); (CA INDEX NAME)

CM 1

CRN 226700 04-8
CMF 017 041 012 N 04

Absolute stereochemistry.



CM 2

CRN 75 75 2
CMF 0 04 04 8



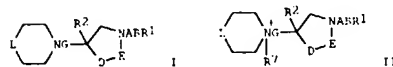
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

15 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

ACCESSION NUMBER: 1993:119895 CAPLUS
DOCUMENT NUMBER: 1993:218281
TITLE: Saturated heterocyclic compounds as substance P and neurokinin A receptor antagonists for treatment of tachykinin-related diseases
INVENTOR(S): Nishi, Takehiko; Fukuzawa, Tetsuya; Kujata, Hiroshi; Ishibashi, Kimisige; Nakajima, Katsuyoshi; Yamaguchi, Takeshi; Ito, Kazuhiro
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 317 pp.
COSEN: JKKAP
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY APP. NIM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 1124445	A2	19990226	JP 1998-169667	19980527
JP 3192631	B2	20010705		
JP 11286443	A2	19991019	JP 1999-16262	19980527
			JP 1997-160126	A 19970528
			JP 1998-169667	A1 19980527

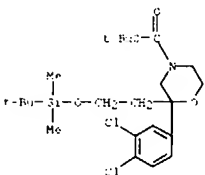
PRIORITY APPL. INFO.:
OTHER SOURCE(S): MARPAT 130:218281
GI



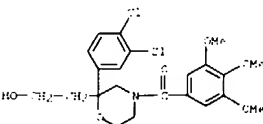
AB Saturated heterocyclic compds. (I) R1, R2 = substituted aryl or heteroaryl; A = CH2, CO, SC2; B = bond, alkylene, alkenylene; D = O, S; E = alkylene; G = alkylene, alkenyl; L = -N(R3)- [R3 = substituted aryl], -C (R4) (R5) [R4 = H, aryl, heteroaryl; R5 = -CO-R6 (R6 = alkyl, amine residue, substituted aryl), substituted acyl, NH2, OH, substituted hydroxyalkyl, alkoxyl, alkylthio, alkylsulfoxide; R4 and R5 = substituted imidazolidine, substituted oxazolidine; R4 = aryl, heteroaryl if R4 bind to R6 with single bond] and (II) R7 = low alkyl and their pharmaceut. acceptable salts are claimed as substance P and neurokinin A (NK1 and NK2) receptor antagonists for treatment of tachykinin-related diseases including asthma, bronchitis, rhinitis, allergy, urinary incontinence. NK1 and NK2 receptor antagonist activities of I and II were tested in animal models. Formulation examples of powders, granules, capsules, and tablets were given.

IT 191673-51-5P 191673-52-6P 191673-53-7P
221130-15-0P
RI: RCT (Reactant); SYN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Saturated heterocyclic compds. as substance P and neurokinin A receptor antagonists for treatment of tachykinin-related diseases)
RN 191673 51 5 CAPLUS

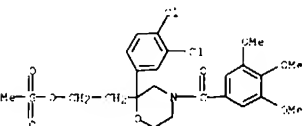
15 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 2-Morpholinethanol, 2-[(3,4-dichlorophenyl)-2-[(1,1,1-trimethylethyl)dimethylsilyloxy]ethyl]-, 1,1-dimethylethyl ester (91); (CA INDEX NAME)



RN 191673 52 6 CAPLUS
CN 2-Morpholinethanol, 2-[(3,4-dichlorophenyl)-2-[(1,1,1-trimethylethyl)dimethylsilyloxy]ethyl]-, 1,1-dimethylethyl ester (91); (CA INDEX NAME)

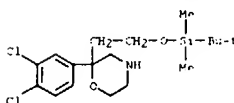


RN 191673 53 7 CAPLUS
CN 2-Morpholinethanol, 2-[(3,4-dichlorophenyl)-2-[(1,1,1-trimethylethyl)dimethylsilyloxy]ethyl]-, 1,1-dimethylethyl ester (91); (CA INDEX NAME)



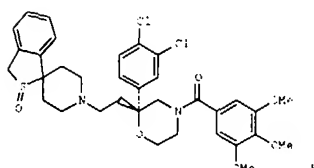
RN 221130 15 6 CAPLUS
CN Morpholine, 2-[(3,4-dichlorophenyl)-2-[(1,1,1-trimethylethyl)dimethylsilyloxy]ethyl]- (91); (CA INDEX NAME)

15 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

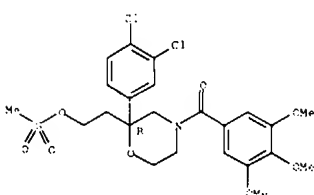


15 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1998:733430 CAPLUS
 DOCUMENT NUMBER: 130138388
 TITLE: Preparation of optically active 1-[2-[(2R)-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)morpholin-2-yl]ethyl]spiro[benzo(c)thiophene-1(3H),4'-piperidine] (2S)-oxide salts for treatment of tachykinin-mediated diseases
 INVENTOR(S): Nishi, Takahider; Yamaguchi, Takeshi
 FATHOM ASSIGNOR(S): Sankyo Company, Limited, Japan
 SOURCE: EST Int. Appl., 32 pp.
 CODEN: PIXX02
 PATENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854201	A1	19981209	WO 1998-JP2308	19980527
W: AU, BR, CA, CH, CZ, DE, DK, ES, FI, FR, GB, GR, IL, IT, JP, KR, NL, NO, NZ, PL, PT, RU, SE, SG, SI, SK, TR, US				
AU 9474515	A1	19981230	AU 1998-74515	19980527
AU 732384	B2	20010426		
EP 087269	A1	20000322	EP 1998-621819	19980527
EP 087269	B1	20000813		
R: AC, BE, CH, DE, DK, ES, FR, GB, GR, IL, IT, NL, SE, SG, SI, SK, TR, US				
BR 9603729	A	20000911	BR 1998-5713	19980527
NZ 513904	A	20010326	NZ 1998-513904	19980527
NZ 501332	A	20010326	NZ 1998-501332	19980527
RU 2194615	C2	20021010	RU 1999-123621	19980527
AT 247122	E	20030915	AT 1998-621819	19980527
JP 1194340	A2	19990216	JP 1998-146881	19980527
US 6362179	B1	20000326	US 1999-447401	19991123
US 5925847	A	20000128	US 1999-5847	20001129
PRIORITY ADJ. INFO:			JP 1997-141804	A 19970530
			WO 1998-JP2308	W 19980527

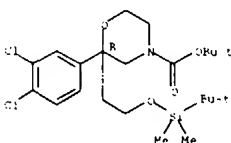


15 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



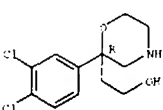
RN 191673-60-5 CAPLUS
 CN 4-Morpholinocarboxylic acid, 2-[(3,4-dichlorophenyl)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 191673-61-1 CAPLUS
 CN 2-Morpholinocarbonyl, 2-[(3,4-dichlorophenyl)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



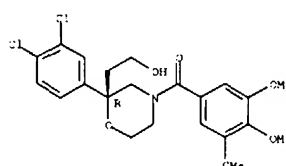
● 1871

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

15 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB Hydrochloride or fumarate of 1-[2-[(2R)-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)morpholin-2-yl]ethyl]spiro[benzo(c)thiophene-1(3H),4'-piperidine] (2S)-oxide (I) having a good oral absorbability and remarkable antagonistic activities to both of NK1 and NK2 receptors is prepd. These compds. are useful for the treatment or prevention of tachykinin-mediated diseases, asthma, bronchitis, allergy, rhinitis, and pollakiuria.
 2-[(2R)-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)morpholin-2-yl]ethyl methanesulfonate (prepn. given) was condensed with spiro[benzo(c)thiophene 1(3H),4'-piperidine] (2S)-oxide hydrochloride (prepn. given) in the presence of Li in DMF at 80 degree. for 8 h to give I, which was treated with 4N HCl in dioxane to give (+)-I.HCl. (+)-I.HCl in vivo showed ID50 (50% inhibition dosage) of 0.51 mg/kg, p.o., for inhibiting the air way constriction induced by [Nle10] NKA'4 101 in guinea pigs. A tablet and capsule formulation contg. (+)-I.HCl were prepd.
 IT 191673-57-1P 191673-58-2P 191673-60-6P 191673-61-7P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of optically active [[[(dichlorophenyl)](trimethoxybenzoyl)morpholinyl]ethyl]spiro[benzo(c)thiophene-piperidine] oxide salts for treatment of tachykinin-mediated diseases)
 RN 191673-57-1 CAPLUS
 CN 2-Morpholinocarbonyl, 2-[(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 191673-58-2 CAPLUS
 CN 2-Morpholinocarbonyl, 2-[(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)-, methanesulfonate (ester), (2R)-(9CI) (CA INDEX NAME)

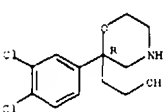
Absolute stereochemistry. Rotation (+).

15 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:733430 CAPLUS
 DOCUMENT NUMBER: 130166453
 TITLE: An efficient synthesis of enantiomerically pure 2-[(2R)-arylmorpholin-2-yl]ethanols, key intermediates of tachykinin receptor antagonist
 AUTHOR(S): Nishi, Takahider; Ishibashi, Kikui; Nakajima, Ken-ichi; Ito, Masaki; Fukazawa, Tetsuya
 CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Sankyo Co., Ltd., Tokyo, 140-8710, Japan
 SOURCE: Tetrahedron: Asymmetry (1998), 9(18), 3251-3262
 CODEN: TASYE3; ISSN: 0957-4166
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 130166453

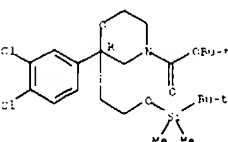
AB We report herein an efficient and practical synthetic method for the prepn. of enantiomerically pure 2-[(2R)-arylmorpholin-2-yl]ethanols, key intermediates of tachykinin receptor antagonist. Sharpless catalytic asym. dihydroxylation was employed to introduce the required abs. stereochem., and cyclization was accomplished by the Mitsunobu reaction.
 IT 191673-56-0P 191673-60-6P 218292-40-1P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of enantiomerically pure (arylmorpholinyl)ethanol)s
 RN 191673-56-0 CAPLUS
 CN 2-Morpholinocarbonyl, 2-[(3,4-dichlorophenyl)-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



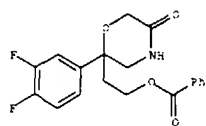
RN 191673-60-6 CAPLUS
 CN 4-Morpholinocarboxylic acid, 2-[(3,4-dichlorophenyl)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



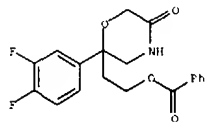
RN 218292-40-1 CAPLUS
 CN 4-Morpholinocarboxylic acid, 2-[(3,4-dichlorophenyl)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester, (2R)-(9CI) (CA INDEX NAME)

LS ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



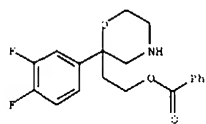
RN 181641-32-7 CAPLUS
 CN 3-Morpholineethanol, 6-[2-(benzoyloxyethyl)-6-(3,4-difluorophenyl)-, (+) (9CI) (CA INDEX NAME)

Rotation (+).



RN 181641-33-8 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-, benzoate (ester), (-) (9CI) (CA INDEX NAME)

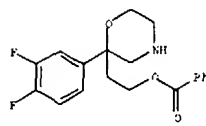
Rotation (-).



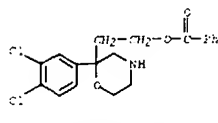
RN 181641-34-9 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-, benzoate (ester), (+) (9CI) (CA INDEX NAME)

Rotation (+).

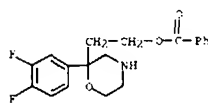
LS ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



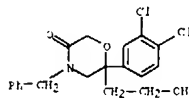
RN 181641-76-1 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)



RN 181641-40-7 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)

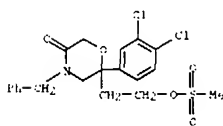


RN 181642-72-8 CAPLUS
 CN 3-Morpholinone, 6-(3,4-dichlorophenyl)-6-(2-hydroxyethyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

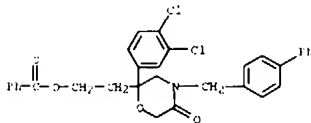


RN 181642-74-0 CAPLUS
 CN 3-Morpholinone, 6-(3,4-dichlorophenyl)-6-[2-(methylsulfonyloxy)ethyl]-4-

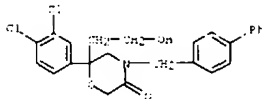
LS ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



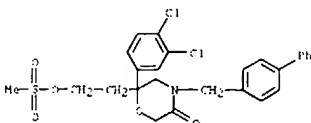
RN 181642-76-2 CAPLUS
 CN 3-Morpholinone, 6-[2-(benzoyloxyethyl)-4-[(1,1'-biphenyl)-4-ylmethyl]-6-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



RN 181642-78-4 CAPLUS
 CN 3-Morpholinone, 4-[(1,1'-biphenyl)-4-ylmethyl]-6-(3,4-dichlorophenyl)-6-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

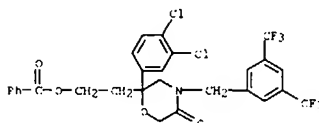


RN 181642-82-8 CAPLUS
 CN 3-Morpholinone, 4-[(1,1'-biphenyl)-4-ylmethyl]-6-(3,4-dichlorophenyl)-6-[2-(methylsulfonyloxy)ethyl]- (9CI) (CA INDEX NAME)

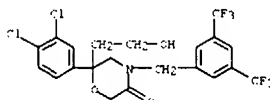


LS ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

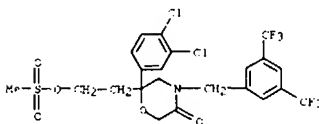
RN 181642-82-0 CAPLUS
 CN 3-Morpholinone, 6-[2-(benzoyloxyethyl)-4-[(3,5-bis(trifluoromethyl)phenyl)methyl]-6-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



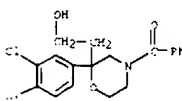
RN 181642-84-2 CAPLUS
 CN 3-Morpholinone, 4-[(3,5-bis(trifluoromethyl)phenyl)methyl]-6-(3,4-dichlorophenyl)-6-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 181642-86-4 CAPLUS
 CN 3-Morpholinone, 4-[(3,5-bis(trifluoromethyl)phenyl)methyl]-6-(3,4-dichlorophenyl)-6-[2-(methylsulfonyloxy)ethyl]- (9CI) (CA INDEX NAME)

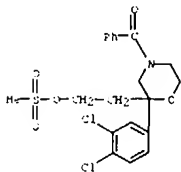


RN 181642-88-6 CAPLUS
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

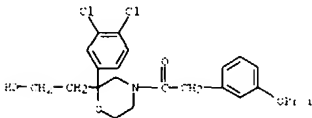


L5 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

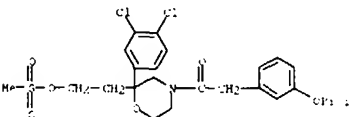
RN 181642-90-0 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-benzoyl-2-(3,4-difluorophenyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



RN 181642-92-2 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[[3-(1-methylethoxy)phenyl]acetyl]- (9CI) (CA INDEX NAME)

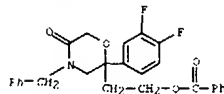


RN 181642-94-4 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[[3-(1-methylethoxy)phenyl]acetyl]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

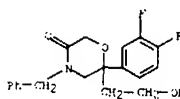


RN 181643-29-2 CAPLUS
 CN 3-Morpholinone, 6-[2-(benzyloxy)ethyl]-6-(3,4-difluorophenyl)-4-(phenylmethyl) (9CI) (CA INDEX NAME)

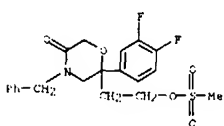
L5 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



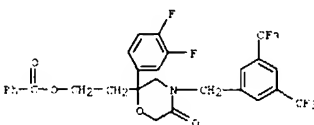
RN 181643-25-4 CAPLUS
 CN 3-Morpholinone, 6-[2-(benzyloxy)ethyl]-6-(3,4-difluorophenyl)-4-(phenylmethyl) (9CI) (CA INDEX NAME)



RN 181643-27-6 CAPLUS
 CN 3-Morpholinone, 6-(3,4-difluorophenyl)-6-[2-(methylsulfonyloxy)ethyl]-4-(phenylmethyl) (9CI) (CA INDEX NAME)

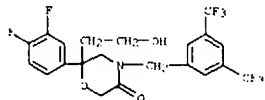


RN 181643-28-7 CAPLUS
 CN 3-Morpholinone, 6-[2-(benzyloxy)ethyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)

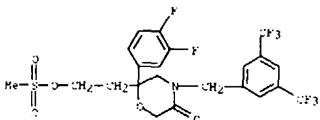


L5 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

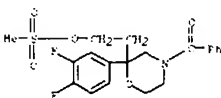
RN 181643-29-6 CAPLUS
 CN 3-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-difluorophenyl)-6-[2-(methylsulfonyloxy)ethyl]- (9CI) (CA INDEX NAME)



RN 181643-31-2 CAPLUS
 CN 3-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-difluorophenyl)-6-[2-(methylsulfonyloxy)ethyl]- (9CI) (CA INDEX NAME)



RN 181643-32-3 CAPLUS
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, methanesulfonate (ester), (-) (9CI) (CA INDEX NAME)



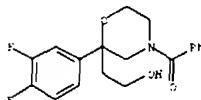
RN 181643-51-6 CAPLUS
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, benzoate (ester), (-) (9CI) (CA INDEX NAME)

Rotation (-).

L5 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

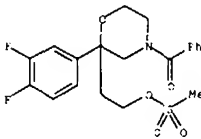
RN 181643-52-7 CAPLUS
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, (-) (9CI) (CA INDEX NAME)

Rotation (-).



RN 181643-53-8 CAPLUS
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, methanesulfonate (ester), (-) (9CI) (CA INDEX NAME)

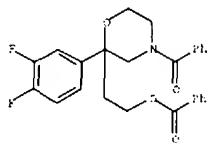
Rotation (-).



RN 181643-54-9 CAPLUS
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, benzoate (ester), (-) (9CI) (CA INDEX NAME)

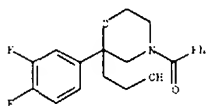
Rotation (-).

LS ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



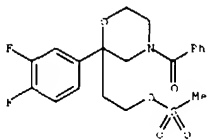
RN 181643-55-0 CAPLUS
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



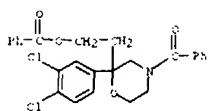
RN 181643-56-1 CAPLUS
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, methanesulfonate (ester), (+)- (9CI) (CA INDEX NAME)

Rotation (+).

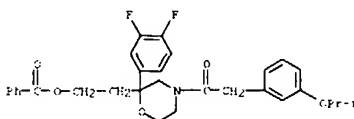


RN 181643-57-2 CAPLUS
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)

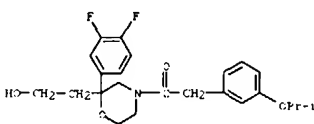
LS ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



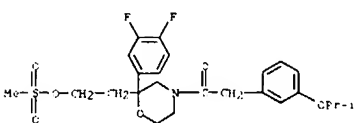
RN 181643-63-0 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-4-[(3-(1-methylethoxy)phenyl)acetyl]-, benzoate (ester) (9CI) (CA INDEX NAME)



RN 181643-64-1 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-4-[(3-(1-methylethoxy)phenyl)acetyl]-, (9CI) (CA INDEX NAME)

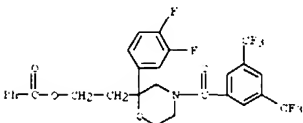


RN 181643-65-2 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-4-[(3-(1-methylethoxy)phenyl)acetyl]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

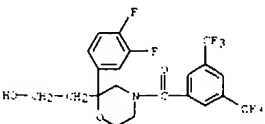


LS ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

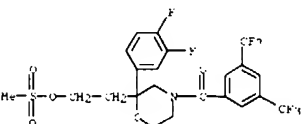
RN 181643-66-3 CAPLUS
 CN 2-Morpholineethanol, 4-[(3,5-bis(trifluoromethyl)benzoyl)-2-(3,4-difluorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)



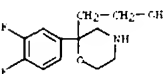
RN 181643-67-4 CAPLUS
 CN 2-Morpholineethanol, 4-[(3,5-bis(trifluoromethyl)benzoyl)-2-(3,4-difluorophenyl)-, (9CI) (CA INDEX NAME)



RN 181643-68-5 CAPLUS
 CN 2-Morpholineethanol, 4-[(3,5-bis(trifluoromethyl)benzoyl)-2-(3,4-difluorophenyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

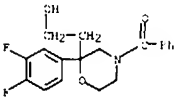


RN 202744-82-9 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-, (9CI) (CA INDEX NAME)



LS ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 210480-74-5 CAPLUS
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB R(CH2)mCH2CH2N(R)5 [R = R6(CH2)nX; R2 = (un)substituted Ph, -thienyl, -indolyl, etc.; R3R4 = 2,2', CH2CH2, CH2, N(CH2), etc.; R1 = H or alkyl; R5 = (hetero)arylmethyl, CHPh, CHPh, etc.; R6 = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; Z = 1-azoniabicyclo[2.2.1]hept-4,1-diyl; X = anion; m = 2 or 3; n = 0 or 1] were prepd. Thus, 3,4-F2C6H3CHO was converted in 5 steps to 3,4-F2C6H3C(OH)(CH2NH2)CH2CH2O2CPh which was optically resolved and the product cyclcondensed with carbonyldiimidazole to give, in 4-addnl. steps, title compd. (+)-1 as the chloride. Data for kiol. activity of title compds. were given.

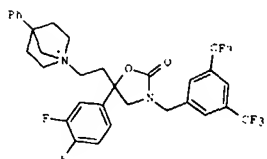
IT 181640-66-4P 181640-67-5P 181640-68-6P 181640-70-0P 181641-07-6P 181641-24-7P 181641-32-7P 181641-33-8P 181641-34-9P 181641-36-1P 181641-40-7P 181642-02-0P 181642-04-2P 181642-06-4P 202744-82-9P

RL: RCT (Reactant); SYN (Synthetic preparation); PREP (Preparation); PACT (Reactant or reagent) (prepn. of [1-azoniabicyclohept-1-ylalkyl]oxazolidinones and analogs as neurokinin receptor antagonists)

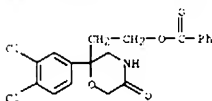
RN 181640-66-4 CAPLUS

CN 3-Morpholinone, 6-(3,4-dichlorophenyl)- 6-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804541	A1	19980305	WO 1997-FR1493	19970725
W: AC, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IL, IS, JP, KE, KR, KP, KZ, LG, MK, MN, NL, NO, NZ, PL, PT, RU, RW, SD, SE, SI, SK, SL, TH, TM, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, TG, ZW, AT, BE, CH, DE, DK, EG, FI, FR, GR, GU, HK, IL, IN, MZ, NL, PT, SE, SF, SJ, TH, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
FR 2751654	A1	19980110	FR 1496-9494	19960726
FR 2751654	B1	19981023		
JP 4938544	A1	19980220	AU 1997-38545	19970725
EP 515882	A1	19980519	EP 1497-93628	19970725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MT, PT, IE, FI				
BR 9710517	A	19980817	BR 1497-19517	19970725
JP 4905159-7	T	20001129	JP 1998-508555	19970725
NO 9400278	A	19990122	NO 1998-278	19990122
PRIOITY APPL. INFO.:			FR 1496-9494	A 19960726
			WO 1997-FR1393	W 19970725
OTHER SOURCE(S):			MARPAT 128134025	

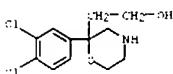


LS ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



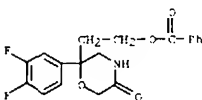
RN 181640-70-0 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



RN 181641-07-6 CAPLUS

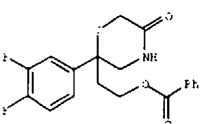
CN 3-Morpholinone, 6-[2-(benzoyloxy)ethyl]-6-(3,4-difluorophenyl)- (-) (9CI) (CA INDEX NAME)



RN 181641-24-7 CAPLUS

CN 3-Morpholinone, 6-[2-(benzoyloxy)ethyl]-6-(3,4-difluorophenyl)-, (+) (9CI) (CA INDEX NAME)

Rotation (-).



RN 181641-32-7 CAPLUS

CN 3-Morpholinone, 6-[2-(benzoyloxy)ethyl]-6-(3,4-difluorophenyl)-, (+) (9CI) (CA INDEX NAME)

Rotation (+).

LS ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

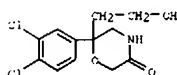
AB R(CH2)mCH2CH2N(R)5 [R = R6(CH2)nX; R2 = (un)substituted Ph, -thienyl, -indolyl, etc.; R3R4 = 2,2', CH2CH2, CH2, N(CH2), etc.; R1 = H or alkyl; R5 = (hetero)arylmethyl, CHPh, CHPh, etc.; R6 = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; Z = 1-azoniabicyclo[2.2.1]hept-4,1-diyl; X = anion; m = 2 or 3; n = 0 or 1] were prepd. Thus, 3,4-F2C6H3CHO was converted in 5 steps to 3,4-F2C6H3C(OH)(CH2NH2)CH2CH2O2CPh which was optically resolved and the product cyclcondensed with carbonyldiimidazole to give, in 4-addnl. steps, title compd. (+)-1 as the chloride. Data for kiol. activity of title compds. were given.

IT 181640-66-4P 181640-67-5P 181640-68-6P 181640-70-0P 181641-07-6P 181641-24-7P 181641-32-7P 181641-33-8P 181641-34-9P 181641-36-1P 181641-40-7P 181642-02-0P 181642-04-2P 181642-06-4P 202744-82-9P

RL: RCT (Reactant); SYN (Synthetic preparation); PREP (Preparation); PACT (Reactant or reagent) (prepn. of [1-azoniabicyclohept-1-ylalkyl]oxazolidinones and analogs as neurokinin receptor antagonists)

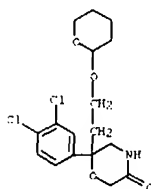
RN 181640-66-4 CAPLUS

CN 3-Morpholinone, 6-(3,4-dichlorophenyl)- 6-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 181640-67-5 CAPLUS

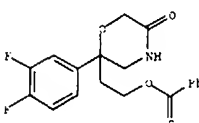
CN 3-Morpholinone, 6-(3,4-dichlorophenyl)-6-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 181640-68-6 CAPLUS

CN 3-Morpholinone, 6-[2-(benzoyloxy)ethyl]-6-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

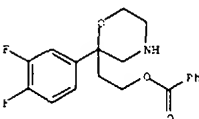
LS ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 181641-33-4 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-, benzoate (ester), (-) (9CI) (CA INDEX NAME)

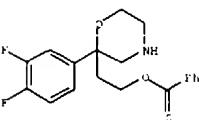
Rotation (-).



RN 181641-34-9 CAPLUS

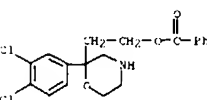
CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-, benzoate (ester), (+) (9CI) (CA INDEX NAME)

Rotation (+).

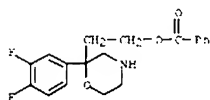


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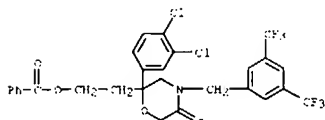
CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)



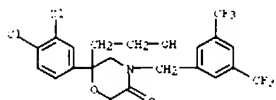
LS ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 18164140-7 CAPLUS
 CN 2-Morpholineethanol, 4-(3,4-difluorophenyl)-benzoate (ester) (SCI) (CA INDEX NAME)



RN 18164282-0 CAPLUS
 CN 3-Morpholinone, 6-[[2-(benzyl(alkoxy)ethyl)-4-[[3,5-bis(trifluoromethyl)phenyl)methyl]-6-(3,4-dichlorophenyl)- (SCI) (CA INDEX NAME)



RN 18164284-2 CAPLUS
 CN 3-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl)methyl]-6-(3,4-dichlorophenyl)-6-(2-hydroxyethyl) (SCI) (CA INDEX NAME)



RN 18164286-4 CAPLUS
 CN 2-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl)methyl]-6-(3,4-dichlorophenyl)-6-[2-(methanesulfonyloxy)ethyl] (SCI) (CA INDEX NAME)

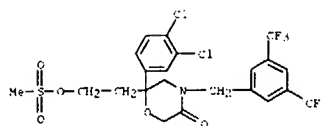
LS ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1997:453899 CAPLUS
 DOCUMENT NUMBER: 127:81436
 TITLE: Preparation of 3-benzoyl-5-(piperidinomethyl)oxazolidinone and analogs as tachykinin receptor antagonists
 INVENTOR(S): Nishio, Takahide; Ichikawa, Erika; Nakamura, Katsumichi; Fukazawa, Tetsuya; Kurata, Hiroshi; Yamaguchi, Takeshi; Ito, Kazuhiro
 PATENT ASSIGNEE(S): Sanofi-Synthelabo, Ltd., Japan
 SOURCE: Eur. Pat. Appl., 365 sp.
 CODES: EPXASW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 776893	A1	19970604	EP 1996-708/11	19961202
EP 776893	B1	20020227		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
RU 2135454	C1	19990827	RU 1996-122851	19961129
CN 1157285	A	19970820	CN 1996-123888	19961201
IL 119724	A1	20010724	IL 1996-119729	19961201
CA 2191815	AA	19970602	CA 1996-2191815	19961202
NO 9605125	A	19970602	NO 1996-5125	19961202
ZA 9619116	A	19970602	ZA 1996-10116	19961202
AD 8674965	A1	19970605	AD 1996-74065	19961202
AF 719258	B2	20000504		
CF 09759275	A2	19970604		
CF 2085672	E2	20000518		
CF 16152478	A2	19980605		
US 6159967	A	20001212	US 1996-788421	19961202
CZ 288498	B6	20010613	CZ 1996-7521	19961202
AT 219748	E	20020914	AT 1996-708711	19961202
ES 2170311	C1	20020801	ES 1996-704711	19961202
JP 19815447	A2	1998-09-17	JP 1997-364110	19971107
JP 1977147	B6	20000906		
JP 19787650	A2	19980707	JP 1997-350658	19971107
JP 2200103751	A2	20000411	JP 1996-318654	19971107
HK 1011466	A1	20020807	HK 1996-112514	19961130
US 6445247	F1	20020916	US 2000-537061	20000322

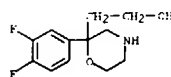
PRIORITY APPLN. INFO:

OTHER SOURCE(S): MARRAT 127:81436
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LS ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

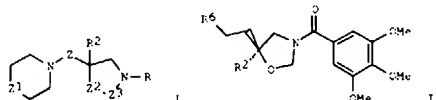


RN 202744-82-5 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)- (SCI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

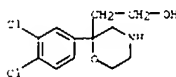
LS ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



AB Title compds. [1: R = 242581; R1,R2 = (monosubstituted (hetero)aryl); 2 = alk(enyl)enyl; 21 = NR3 or CP4R5; R3 = (monosubstituted (hetero)aryl); R4 = H or (monosubstituted (hetero)aryl); R5 = alkyl, alkoxy, alkaryl, carbonate, etc.; 22 = O or S; 23 = alkylene, cycloalkylidene, etc.; 24 = CH2, CO, SO2; 25 = bond or alk(enyl)ene were prepd. Thus, 3,4-difluorophenyl was condensed with CH2=CHCH2CH2OSiMe3 and the product stereoselectively cyclized to give, in 2 addnl. steps, (R)-3,4-difluorophenyl (CH2CH2)CH2CH2OSiMe3. The latter was cyclized and the product N-benzoylated to give, in 2 addnl. steps, 3-benzoyl-5-oxazolidinylethyl mesylate II (R2 = C6H4Cl2-3,4) (III: R6 = OSO2Me) which was aminated by 4-phenylpiperidine-4-carboxamide to give III (R6 = 4-carbamoyl-4-phenylpiperidine). Data for biol. activity of I were given.

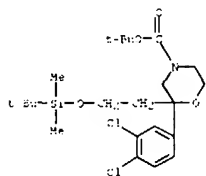
IT 181640-70-0P 191673-51-5P 191673-52-6P 191673-53-7P 191673-55-9P 191673-56-0P 191673-57-1P 191673-58-2P 191673-60-6P 191673-61-7P 191673-63-9P 191673-64-0P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of 3-benzoyl-5-(piperidinomethyl)oxazolidinone and analogs as tachykinin receptor antagonists)

RN 181640-70-0 CAPLUS
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)- (SCI) (CA INDEX NAME)

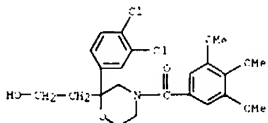


RN 191673-51-5 CAPLUS
 CN 4-Morpholineethanol, 2-(3,4-dichlorophenyl)-2-[2-[[[1,1-dimethylethylidimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

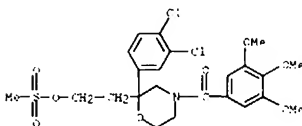
L5 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 191673-52-6 CAPLUS
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-((3,4,5-trimethoxybenzoyl)-methanesulfonate (ester))-, (9CI) (CA INDEX NAME)



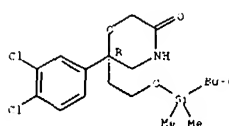
RN 191673-53-7 CAPLUS
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-((3,4,5-trimethoxybenzoyl)-methanesulfonate (ester))-, (9CI) (CA INDEX NAME)



RN 191673-55-9 CAPLUS
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-((3,4,5-trimethoxybenzoyl)-methanesulfonate (ester))-, (9CI) (CA INDEX NAME)

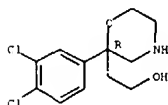
Absolute stereochemistry. Rotation (+).

L5 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



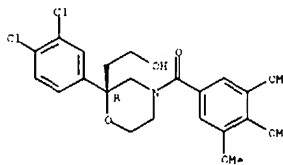
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CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-((3,4,5-trimethoxybenzoyl)-methanesulfonate (ester))-, (2R) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 191673-57-1 CAPLUS
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-((3,4,5-trimethoxybenzoyl)-methanesulfonate (ester))-, (2R) (9CI) (CA INDEX NAME)

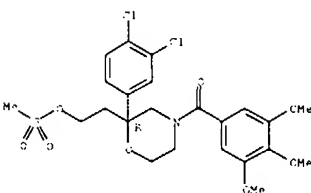
Absolute stereochemistry. Rotation (+).



RN 191673-58-2 CAPLUS
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-((3,4,5-trimethoxybenzoyl)-methanesulfonate (ester))-, (2R) (9CI) (CA INDEX NAME)

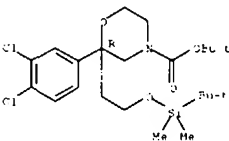
Absolute stereochemistry. Rotation (+).

L5 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



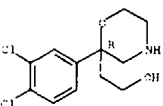
RN 191673-60-6 CAPLUS
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-((3,4,5-trimethoxybenzoyl)-methanesulfonate (ester))-, (2R) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



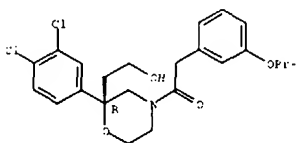
RN 191673-61-7 CAPLUS
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-((3,4,5-trimethoxybenzoyl)-methanesulfonate (ester))-, (2R) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

● R¹

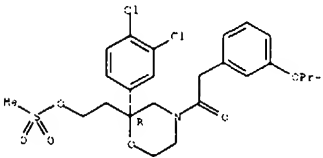
RN 191673-62-9 CAPLUS
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-((3,4,5-trimethoxybenzoyl)-methanesulfonate (ester))-, (2R) (9CI) (CA INDEX NAME)

L5 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 191673-64-0 CAPLUS
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-((3,4,5-trimethoxybenzoyl)-methanesulfonate (ester))-, (2R) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



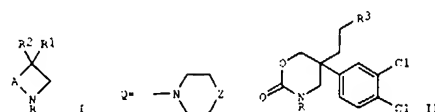
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9623737	A1	19960808	WO 1996-08152	19960130
FI 727595C	A1	19960809	FI 727595C	19960130
FR 2729952	R1	19970401		
FR 2729953	R1	19960809	FR 1995-8046	19950704
FR 2729953	R1	19970801		
FR 2729954	A1	19960809	FR 1925-13075	19951103
FR 2729954	R1	19970801		
CA 2211669	AA	19960808	CA 1996-2211668	19960130
AT 964666-9	A1	19960801	A3 1976-45669	19960130
EP 703901	B2	19990722		
ZA 450600	A	19960808	ZA 1996-694	19960130
EP 801111	A	19970111	EP 1996-092305	19960130
EP 801111	A	20020814		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SG, LT, LV				
CN 11724874	A	19960294	CN 1996-131686	19960130
CN 1089764	B	20020928		
JP 116849	A1	19960808	JP 1996-116857	19960130
JP 11687324	T2	19960626	JP 1996-073306	19960130
JP 3324228	B2	20011204		
NZ 367285	A	20000126	NZ 1996-367285	19960130
RU 2589717	C2	20000126	RU 1997-143838	19960130
JP 119131171	E2	20010515	JP 2000-342606	19960130
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EP 156547	A2	20011121	EP 2001-159849	19960130
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JP 2002136088	A2	20020514	JP 2001-335426	19960130
AT 232581	E	20020903	AT 1996-922495	19960130
ES 1341866	T3	20030331	ES 1996-577799	19960130
ZA 434073	A1	20020903	ZA 2002-112710	19960130
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15	ANWZER ZD 22	CALUS	COPYRIGHT 2003	ACS	ON STN	(C-continued)
FI	77037148	A	19970629	F1	1947-3148	19370729
N2	7030749	A	1949m422	NC	1957-3479	199707025
US	5971459	A	19911008	1953	1953	199810202
US	6074261	B1	19921005	CS	1958-75331	199810202
US	6930133	A1	19890819	AJ	1999-30143	19990519
US	771188	B2	20011745			
CN	1231874	A	20011114	CN	1991-116340	20010411
CN	1231875	A	20011114	CN	1991-116741	20010411
CN	1194855	A	20030205	CN	1991-143103	20011207

PRIORITY AFFIL. INFO.:	FR 1995-1016	A	199510130
	FR 1995-8046	A <th>199507040</th>	199507040
	FR 1995-13005	A <th>199511104</th>	199511104
A*	1996-46669	A4 <th>199601130</th>	199601130
EP	1996-902105	A3 <th>199601130</th>	199601130
EP	2001-119549	A3 <th>199601130</th>	199601130
JP	1996-523308	A4 <th>199601130</th>	199601130
JP	2000-342571	A3 <th>199601130</th>	199601130
US	1996-593938	A3 <th>199601130</th>	199601130
WO	1996-ER1252	W <th>199601130</th>	199601130
US	1997-820716	A3 <th>19970318</th>	19970318

OTHER SOURCE(S): MAPPAT 125-2478/5

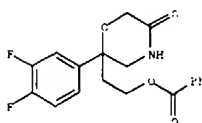


AR Title compds. (1) R = CH_3 , CH_2CH_3 , NHCH_3 , CH_2CH_2 , etc.; R' = (hetero)arylmethyl (hetero)arylmethyl, CH_2CH_2 , etc.; R'' = (aralkyl)alkylated Ph, naphthyl, benzothiazyl, etc.; R³ = $\text{CH}_2\text{CH}_2\text{NR}_3$; R³ = e.g., heterocyclic group; Z = (hetero)arylimino- or methylimino, etc. m = 2 or 3 was prepd. Thus, 3,4-(12-benzylthio)CH₂CH₂N was alkylated by R³CH₂CH₂NR³ (R³ = 2-ethylthioarylmethyl) and the product converted in 2 steps to 3,4-(12-benzylthio)CH₂CH₂CH₂CH₂NR³ (R³ as above) which was cyclodehydrogenated with CH_2N_2 to give, in 2 addnl. steps, maxizone 11 (R = CH_2CH_2) (11); R³ = SO_2CH_3 etc. The latter was annulated by 4-benzylpyridine to give 11 (R³ = 4-benzylpyridin-1-yl). I had K_d of $<10^{-8}$ M for tachykinin receptors in

IT	181640-66-4P	181640-67-5P	181640-68-6P
	181640-70-0P	181641-07-6P	181641-08-7P
	181641-24-7P	181641-32-7P	181641-33-8P
	181641-34-9P	181641-36-1P	181641-40-7P
	181642-72-8P	181642-74-0P	181642-76-2P
	181642-78-4P	181642-80-8P	181642-82-0P
	181642-84-2P	181642-86-4P	181642-88-6P
	181642-90-0P	181642-92-2P	181642-94-4P
	181643-23-2P	181643-25-4P	181643-27-6P
	181643-28-7P	181643-29-8P	181643-31-2P

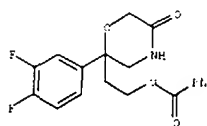
OCCN1CCCC1C2=CC=C(Cl)C(Cl)=C2O=C1CC(C(F)(F)c2ccc(F)cc2)NCC1C(=O)OO=C1CN(C1Cc2cc(F)cc(F)c2)CCO

Results: (-).



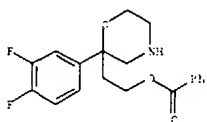
Reaction (+)

L5 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



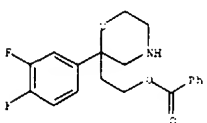
RN 181641-73-8 CAPLUS
CN 2 Morpholineethanol, 2-(3,4-difluorophenyl)-, benzoate (ester), (1) (SCI) (CA INDEX NAME)

Rotation (-).



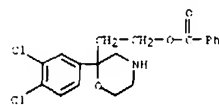
RN 181641-74-9 CAPLUS
CN 2 Morpholineethanol, 2-(3,4-difluorophenyl)-, benzoate (ester), (+) (SCI) (CA INDEX NAME)

Rotation (+).

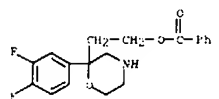


RN 181641-76-1 CAPLUS
CN 2 Morpholineethanol, 2-(3,4-dichlorophenyl)-, benzoate (ester) (SCI) (CA INDEX NAME)

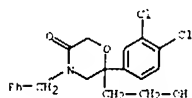
L5 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



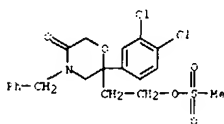
RN 181641-40-7 CAPLUS
CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-, benzoate (ester) (SCI) (CA INDEX NAME)



RN 181642-72-8 CAPLUS
CN 3-Morpholinone, 6-(3,4-dichlorophenyl)-6-(2-hydroxyethyl)-4-(phenylmethyl)- (SCI) (CA INDEX NAME)

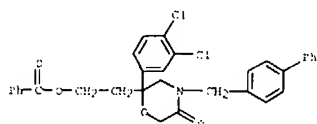


RN 181642-74-0 CAPLUS
CN 3-Morpholinone, 6-(3,4-dichlorophenyl)-6-[2-[(methylsulfonyl)oxy]ethyl]-4-(phenylmethyl)- (SCI) (CA INDEX NAME)

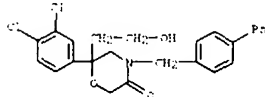


RN 181642-76-2 CAPLUS
CN 3-Morpholinone, 6-[2-(benzoyloxy)ethyl]-4-[[1,1'-biphenyl]-4-ylmethyl]-6

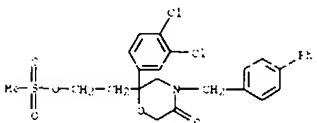
L5 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



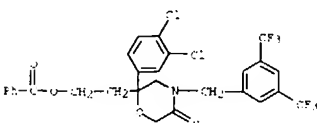
RN 181642-78-4 CAPLUS
CN 3-Morpholinone, 4-[[1,1'-biphenyl]-4-ylmethyl]-6-(3,4-dichlorophenyl)-6-(2-hydroxyethyl)- (SCI) (CA INDEX NAME)



RN 181642-80-3 CAPLUS
CN 3-Morpholinone, 4-[[1,1'-biphenyl]-4-ylmethyl]-6-(3,4-dichlorophenyl)-6-[2-[(methylsulfonyl)oxy]ethyl]- (SCI) (CA INDEX NAME)

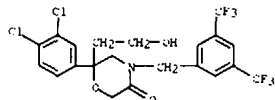


RN 181642-82-0 CAPLUS
CN 3-Morpholinone, 6-[2-(benzoyloxy)ethyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-dichlorophenyl)- (SCI) (CA INDEX NAME)

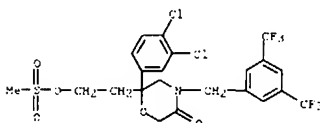


L5 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

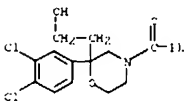
RN 181642-84-2 CAPLUS
CN 3-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-dichlorophenyl)-6-(2-hydroxyethyl)- (SCI) (CA INDEX NAME)



RN 181642-86-4 CAPLUS
CN 3-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-dichlorophenyl)-6-[2-[(methylsulfonyl)oxy]ethyl]- (SCI) (CA INDEX NAME)

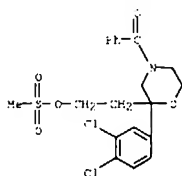


RN 181642-88-6 CAPLUS
CN 2-Morpholineethanol, 4-benzyl-2-(3,4-dichlorophenyl)- (SCI) (CA INDEX NAME)

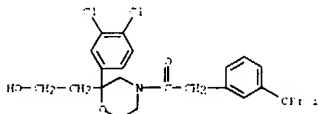


RN 181642-92-0 CAPLUS
CN 2-Morpholineethanol, 4-benzyl-2-(3,4-dichlorophenyl)-, methanesulfonate (ester) (SCI) (CA INDEX NAME)

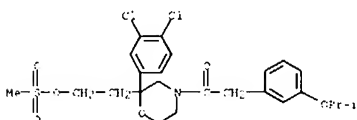
15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 181642-92-2 CAPLUS
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[[3-(1-methylethoxy)phenyl]acetyl]] (9CI) (CA INDEX NAME)



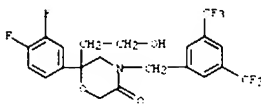
RN 181642-94-4 CAPLUS
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[[3-(1-methylethoxy)phenyl]acetyl]]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



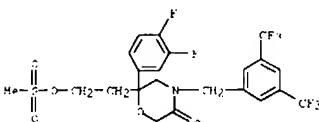
RN 181643-23-2 CAPLUS
CN 3-Morpholinone, 6-[2-(benzylloxy)ethyl]-6-(3,4-difluorophenyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

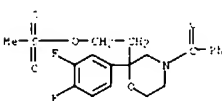
RN 181643-29-8 CAPLUS
CN 3-Morpholinone, 4-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-difluorophenyl)-6-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 181643-31-2 CAPLUS
CN 3-Morpholinone, 4-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-difluorophenyl)-6-[2-[(methylsulfonyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



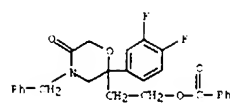
RN 181643-32-2 CAPLUS
CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



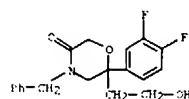
RN 181643-51-6 CAPLUS
CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, benzoate (ester), (-) (9CI) (CA INDEX NAME)

Rotation (-).

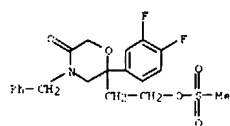
15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



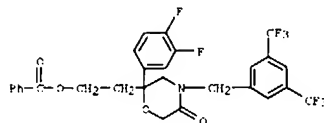
RN 181643-25-4 CAPLUS
CN 3-Morpholinone, 6-[2-(3,4-difluorophenyl)-6-(2-hydroxyethyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



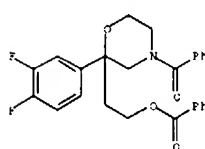
RN 181643-27-6 CAPLUS
CN 3-Morpholinone, 6-[2-(3,4-difluorophenyl)-6-[2-[(methylsulfonyl)oxy]ethyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 181643-28-7 CAPLUS
CN 3-Morpholinone, 6-[2-(benzylloxy)ethyl]-4-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)

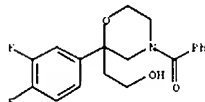


15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



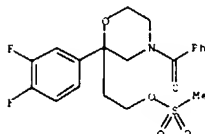
RN 181643-52-7 CAPLUS
CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, (-) (9CI) (CA INDEX NAME)

Rotation (-).



RN 181643-53-8 CAPLUS
CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, methanesulfonate (ester), (-) (9CI) (CA INDEX NAME)

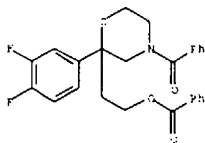
Rotation (-).



RN 181643-54-9 CAPLUS
CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, benzoate (ester), (+) (9CI) (CA INDEX NAME)

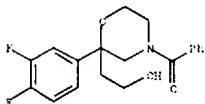
Rotation (+).

15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS OR STN (Continued)



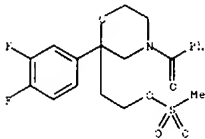
RN 181643-55-0 CAPLUS
 CN 2-Morpholineethanol, 4-benzyl-2-[(3,4-difluorophenyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



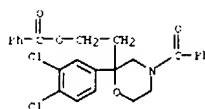
RN 181643-56-1 CAPLUS
 CN 2-Morpholineethanol, 4-benzyl-2-[(3,4-difluorophenyl)-, methanesulfonate (ester), (+) (9CI) (CA INDEX NAME)

Rotation (+).

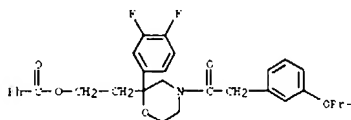


RN 181643-57-2 CAPLUS
 CN 2-Morpholineethanol, 4-benzyl-2-[(3,4-dichlorophenyl)-, benzoylate (ester) (9CI) (CA INDEX NAME)

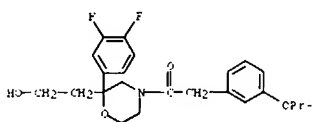
15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS OR STN (Continued)



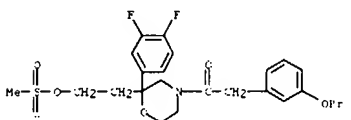
RN 181643-63-0 CAPLUS
 CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[[[3-(1-methylethoxy)phenyl]acetyl]-, benzoate (ester) (9CI) (CA INDEX NAME)



RN 181643-64-1 CAPLUS
 CN 2-Morpholineethanol, 2-[(3,4-difluorophenyl)-4-[[[3-(1-methylethoxy)phenyl]acetyl]- (9CI) (CA INDEX NAME)

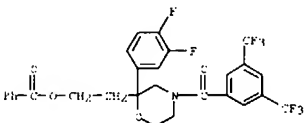


RN 181643-65-2 CAPLUS
 CN 2-Morpholineethanol, 2-[(3,4-difluorophenyl)-4-[[[3-(1-methylethoxy)phenyl]acetyl]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

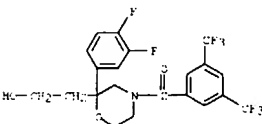


15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS OR STN (Continued)

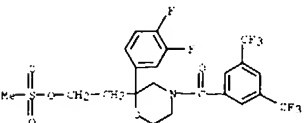
RN 181643-66-3 CAPLUS
 CN 2-Morpholineethanol, 4-[(3,5-bis(trifluoromethyl)benzyl)-2-[(3,4-difluorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)



RN 181643-67-4 CAPLUS
 CN 2-Morpholineethanol, 4-[(3,5-bis(trifluoromethyl)benzyl)-2-[(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



RN 181643-68-5 CAPLUS
 CN 2-Morpholineethanol, 4-[(3,5-bis(trifluoromethyl)benzyl)-2-[(3,4-difluorophenyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



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=> fil reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	101.04	251.40
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-14.32	-14.32

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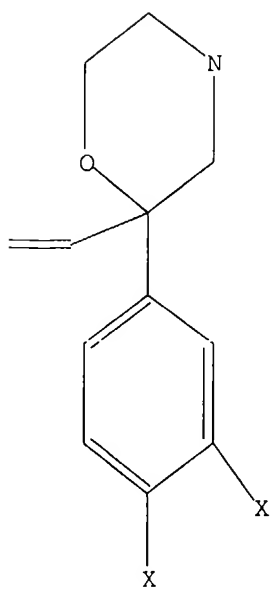
STRUCTURE FILE UPDATES: 22 OCT 2003 HIGHEST RN 608090-08-0
DICTIONARY FILE UPDATES: 22 OCT 2003 HIGHEST RN 608090-08-0

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

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Structure attributes must be viewed using STN Express query preparation.

Page 32 10/23/2003

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FILE COVERS 1907 - 23 Oct 2003 VOL 139 ISS 17
FILE LAST UPDATED: 22 Oct 2003 (20031022/ED)

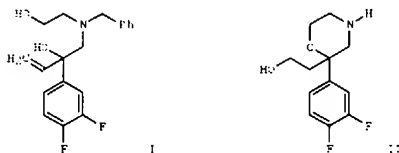
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L8 1 L7

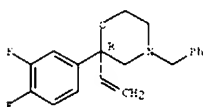
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13 ANSWER 1 OF 1 CAPLUS: COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:50634 CAPLUS
DOCUMENT NUMBER: 144:190879
TITLE: Preparation of 2-(2-aryl-2-methylprop-1-en-1-yl)ethanols as
diol intermediates
INVENTOR(S): Allombard, Alain; Benoun, Françoise; Simefey,
Sébastien; Burgin, Amélie; Carac, Claude; Lucas, Eric
PATENT ASSIGNEE(S): Sanofi Synthelabo, Fr.
SOURCE: PCT Int. Appl., 76 pp.
CODING: PXXXX
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACCE. NUM. COUNT: 1
PATENT INFORMATION:

[illegible]

AB Enantiomer: $\text{K}_2\text{CH}_3\text{CH}_2\text{OH}$ (E + 3,4-dihalo-phenyl) 2 : 2-morpholinylidene) were prepd. Thus, 3,4- $\text{F}_2\text{C}_6\text{H}_3\text{OCH}_2\text{CH}_3$ (propn. given) was condensed with $\text{C}_6\text{H}_4\text{CH}_2\text{NMe}_2$ and the product amidated by PhCH_2NH_2 to give, after

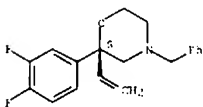
LE ANSWER 1 OF 1 CAPLUS COPYRIGHT 2013 ACS in STM (Continued)
Absolute stereochemistry. Rotation (+).



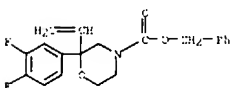
● HC 1

RN 320337-89 2 CAPLINC
CN Morpholine, 2-(3,4-difluorophenyl)-2-ethenyl-4-(phenylmethyl)-, (2S)-
(501) (CA INDEX NAME)

Absolute stereochemistry. Rotations (c)



RN 720331-85-1 CAPLUS
 CN 4-Morpholinomethyl-oxalic acid, 2-(3,4-difluorophenyl)-2-ethenyl,
 phenylmethyl ester (9CI) (CA INDEX NAME)



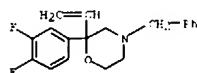
17 390412-72-3P
 RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PAT
 (React or reagent)
 (prepn. of 2-(2-aryl-2-morpholino)ethanol as drug intermediates)

Morpholine, 2-[2,4-difluorophenyl]-2-ethenyl-4-(phenylmethyl)-, (2R)-
(3CI) [CA INDEX NAME]

Absolute stereochemistry. Retention 100%.

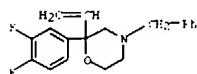
L8 ANSWER 1 OF 1 CAPLIS COPYRIGHT 2003 ACS in STN (Continued)
(*)-PhCH₂(CH)₂CO₂H, treatment, (R)-(+)-PhCH₂NHCH₂CO₂(CH)₂CH₂CO₂H
(*)-mandelic acid salt which was treated with oxirane to give amiributanol
(R) (+) 1. The latter was cyclized and the product converted in 2 steps
to title compd. (R)-(+)-11.

IT	320337-66-29 320337-65-39 320337-72-29 320337-80-28 320337-85-78
LN	RL: IMP (Industrial manufacture); RCT (Reactant); SEN (Synthetic preparation); PREP (Preparation); RAGT (Reagent or reagent) [prep., of 2-(2-aryl-2-morpholinyl)ethanol as drug intermediates]
KN	320337-64-2 CAPTUS
CN	M: propidine, 2-[(3,4-difluorophenyl)-2-ethenyl-4-(phenylmethyl)- (SGT) (SA INDEX NAME)



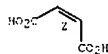
RV 320337-65-3 CAPLUS
 CN Morpholine, 2 (3,4 difluorophenyl) 2-ethenyl-4-(phenylmethyl) ,
 (42)-2-butanedicarboxylate (1:1) (9CI) (CA INDEX NAME)

CH 1
CRN 320337-64-2
CHF C19 H19 F2 N O



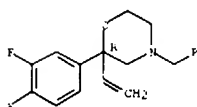
CM 2
CRN 110-16-7
CHF C4 H4 O4

Double bond geometry as shown.



RN 320337-72-2 CAPLUS
CN Morpholine, 2-(3,4-difluorophenyl)-2-ethenyl-4-(phenylmethyl)-,
hydrochloride, (2R)-(9CI) (CA INDEX NAME)

L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
5.37	404.92

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-0.65	-14.97

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 09:21:00 ON 23 OCT 2003